

## WEST Search History

[Hide Items](#)[Restore](#)[Clear](#)[Cancel](#)

DATE: Friday, July 27, 2007

<b>Hide?</b>	<b><u>Set Name</u></b>	<b><u>Query</u></b>	<b><u>Hit Count</u></b>
<i>DB=PGPB,USPT,USOC,EPAB,JPAB,DWPI; PLUR=YES; OP=OR</i>			
<input type="checkbox"/>	L11	L10 and obesity	12
<input type="checkbox"/>	L10	l7 and histamine	127
<input type="checkbox"/>	L8	L7 and cognition	18
<input type="checkbox"/>	L7	L6 and piperidine	875
<input type="checkbox"/>	L6	514/311	2217
<input type="checkbox"/>	L5	L4 and indole	81
<input type="checkbox"/>	L4	L3 and piperidine	132
<input type="checkbox"/>	L3	548/469	464
<input type="checkbox"/>	L2	L1 and piperidine	80
<input type="checkbox"/>	L1	546/318	367

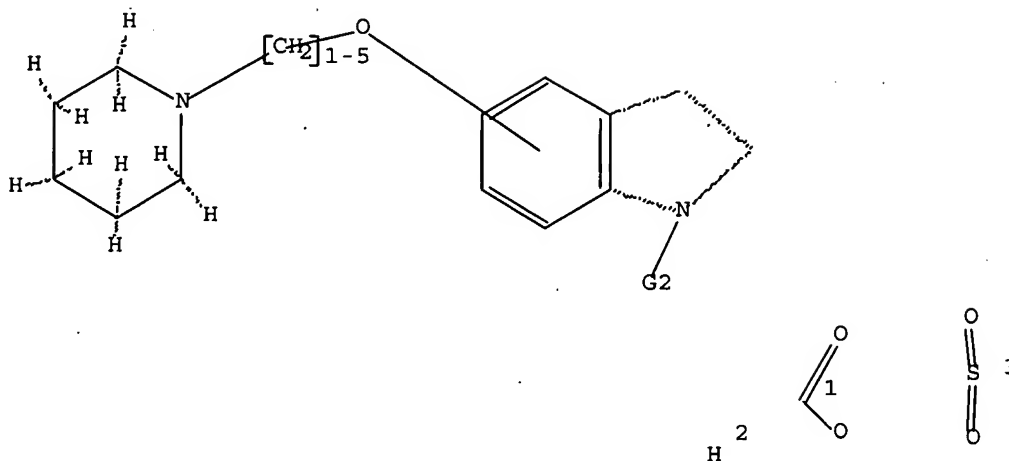
END OF SEARCH HISTORY

L24        STRUCTURE UPLOADED

=> d 124

L24 HAS NO ANSWERS

L24        STR



G1 C, H, S

G2 [@1], [@2], [@3]

Structure attributes must be viewed using STN Express query preparation.

=> s 124

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 12:10:43 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 21719 TO ITERATE

9.2% PROCESSED        2000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*  
                              BATCH    \*\*COMPLETE\*\*  
PROJECTED ITERATIONS:        425559 TO    443201  
PROJECTED ANSWERS:            20 TO        414

L25        1 SEA SSS SAM L24

L26        1 L25

=> file registry  
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.47	1026.10

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-47.58

CA SUBSCRIBER PRICE

FILE 'REGISTRY' ENTERED AT 12:10:58 ON 27 JUL 2007  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 26 JUL 2007 HIGHEST RN 943513-14-2  
DICTIONARY FILE UPDATES: 26 JUL 2007 HIGHEST RN 943513-14-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=> d his

(FILE 'HOME' ENTERED AT 10:55:18 ON 27 JUL 2007)

FILE 'REGISTRY' ENTERED AT 10:55:47 ON 27 JUL 2007

L1	STRUCTURE UPLOADED
L2	0 S L1
L3	STRUCTURE UPLOADED
L4	7 S L3
L5	STRUCTURE UPLOADED
L6	3 S L5
L7	1506 S L5 FUL
L8	STRUCTURE UPLOADED
L9	3 S L8

FILE 'REGISTRY' ENTERED AT 11:21:32 ON 27 JUL 2007

L10	STRUCTURE UPLOADED
L11	STRUCTURE UPLOADED
L12	3 S L11
L13	1081 S L11 FUL

FILE 'CAPLUS' ENTERED AT 11:40:41 ON 27 JUL 2007

L14	107 S L13
-----	-----------

FILE 'REGISTRY' ENTERED AT 11:42:29 ON 27 JUL 2007

L15	STRUCTURE UPLOADED
-----	--------------------

L16 1 S L15  
L17 344 S L15 FUL

FILE 'CAPLUS' ENTERED AT 11:43:14 ON 27 JUL 2007

L18 47 S L17  
L19 0 S L18 AND PY,2003  
L20 37 S L18 AND PY<2003  
L21 74 S L14 AND PY<2003  
L22 73 S L21 NOT L18

FILE 'CAPLUS' ENTERED AT 12:08:43 ON 27 JUL 2007

L23 STRUCTURE UPLOADED  
L24 STRUCTURE UPLOADED  
S L24

FILE 'REGISTRY' ENTERED AT 12:10:43 ON 27 JUL 2007

L25 1 S L24

FILE 'CAPLUS' ENTERED AT 12:10:43 ON 27 JUL 2007

L26 1 S L25

FILE 'REGISTRY' ENTERED AT 12:10:58 ON 27 JUL 2007

=> s l24 ful

FULL SEARCH INITIATED 12:11:14 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 432385 TO ITERATE

100.0% PROCESSED 432385 ITERATIONS  
SEARCH TIME: 00.00.02

131 ANSWERS

L27 131 SEA SSS FUL L24

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE  
ENTRY

TOTAL  
SESSION

FULL ESTIMATED COST

172.10

1198.20

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE  
ENTRY

TOTAL  
SESSION

CA SUBSCRIBER PRICE

0.00

-47.58

FILE 'CAPLUS' ENTERED AT 12:11:25 ON 27 JUL 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 27 Jul 2007 VOL 147 ISS 6  
FILE LAST UPDATED: 26 Jul 2007 (20070726/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.  
They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 127

L28 28 L27

=> s 128 and py< 2004

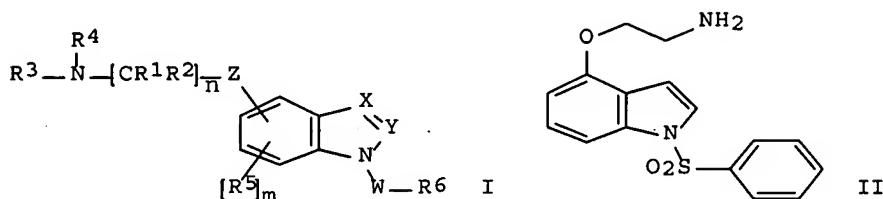
23927557 PY< 2004

L29 12 L28 AND PY< 2004

=> d abs fbib hitstr 1-12

L29 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

GI



AB The title compds. [I; W = SO<sub>2</sub>, CO, CONH, CSNH, CH<sub>2</sub>; X = CR<sub>7</sub>, N; Y = CR<sub>8</sub>, N (with the proviso that when X = N, then Y must be CR<sub>8</sub>); Z = O, SOp, NR<sub>9</sub>; R<sub>1</sub>, R<sub>2</sub> = H, alkyl; n = 2-4; R<sub>3</sub>, R<sub>4</sub> = H, alkyl, cycloalkyl, (hetero)aryl, etc.; R<sub>5</sub> = H, halo, CN, etc.; m = 1-3; p = 0-2; R<sub>6</sub> = (un)substituted (hetero)aryl, 8-13 membered bicyclic or tricyclic ring system having a N atom at the bridgehead and optionally containing 1-3 addnl. heteroatoms selected from N, O or S; R<sub>7</sub>, R<sub>8</sub> = H, halo, alkyl, etc.; R<sub>9</sub> = H, alkyl, cycloalkyl, etc.], useful for the therapeutic treatment of disorders relating to or affected by the 5-HT<sub>6</sub> receptor, were prepared E.g., a multi-step synthesis of II.HCl, starting from 4-hydroxyindole, which showed K<sub>i</sub> of 2 nM against 5-HT<sub>6</sub> receptor binding, was given. The pharmaceutical composition comprising the compound I is disclosed.

AN 2005:34600 CAPLUS Full-text <<LOGINID::20070727>>

DN 142:134588

TI Preparation of 1-arylsulfonyl- or 1-alkylsulfonylbenzazoles as 5-hydroxytryptamine-6 ligands

IN Zhou, Ping; Kelly, Michael Gerard

PA Wyeth, John, and Brother Ltd., USA

SO U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S. Ser. No. 695,490.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005009819	A1	20050113	US 2004-888810	20040709
				US 2001-263425P	P 20010123
				US 2002-55365	A3 20020122
				US 2002-314726	A3 20021209
				US 2003-695490	A2 20031028
	US 6509357	B1	20030121	US 2002-55365	20020122 <--

US 2003149018	A1	20030807	US 2001-263425P	P	20010123
US 6710069	B2	20040323	US 2002-314726		20021209 <--
US 2004092564	A1	20040513	US 2001-263425P	P	20010123
			US 2002-55365	A3	20020122
			US 2003-695490		20031028
			US 2001-263425P	P	20010123
			US 2002-55365	A3	20020122
			US 2002-314726	A3	20021209
US 2004087637	A1	20040506	US 2003-696433		20031029
US 6919354	B2	20050719			
			US 2001-263425P	P	20010123
			US 2002-55365	A3	20020122
			US 2002-314726	A3	20021209

PATENT FAMILY INFORMATION:

FAN 2002:575052

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002059088	A1	20020801	WO 2002-US1950	20020118
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2001-263425P	P 20010123
TW	593278	B	20040621	TW 2001-90132299	20011226
				US 2001-263425P	P 20010123
CA	2435566	A1	20020801	CA 2002-2435566	20020118
				US 2001-263425P	P 20010123
				WO 2002-US1950	W 20020118
AU	2002251811	A1	20020806	AU 2002-251811	20020118
				US 2001-263425P	P 20010123
				WO 2002-US1950	W 20020118
EP	1353904	A1	20031022	EP 2002-720837	20020118
EP	1353904	B1	20051207		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				US 2001-263425P	P 20010123
				WO 2002-US1950	W 20020118
BR	2002006633	A	20040217	BR 2002-6633	20020118
				US 2001-263425P	P 20010123
				WO 2002-US1950	W 20020118
CN	1498209	A	20040519	CN 2002-806757	20020118
				US 2001-263425P	P 20010123
JP	2004521116	T	20040715	JP 2002-559390	20020118
				US 2001-263425P	P 20010123
				WO 2002-US1950	W 20020118
HU	200401009	A2	20040830	HU 2004-1009	20020118
				US 2001-263425P	P 20010123
				WO 2002-US1950	W 20020118
NZ	527051	A	20050729	NZ 2002-527051	20020118
				US 2001-263425P	P 20010123
				WO 2002-US1950	W 20020118
AT	312078	T	20051215	AT 2002-720837	20020118
				US 2001-263425P	P 20010123
				WO 2002-US1950	W 20020118

ES 2250638	T3	20060416	ES 2002-2720837	20020118
			US 2001-263425P	P. 20010123
MX 2003PA06479	A	20030922	MX 2003-PA6479	20030718
			US 2001-263425P	P 20010123
			WO 2002-US1950	W 20020118
NO 2003003300	A	20030903	NO 2003-3300	20030722
			US 2001-263425P	P 20010123
			WO 2002-US1950	W 20020118
ZA 2003006542	A	20051121	ZA 2003-6542	20030821
			US 2001-263425P	P 20010123

OS MARPAT 142:134588

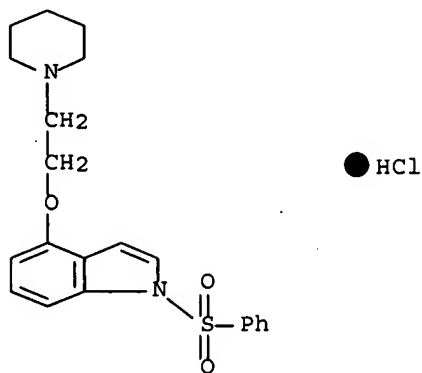
IT 444190-38-9P 444190-39-0P 825634-53-5P  
 825634-54-6P 825634-55-7P 825634-56-8P  
 825634-62-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-arylsulfonyl- or 1-alkylsulfonylbenzazoles as 5-hydroxytryptamine-6 ligands)

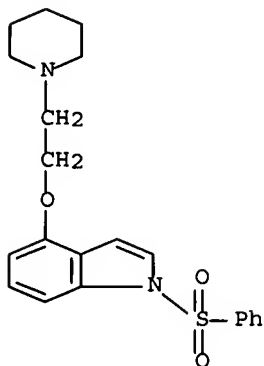
RN 444190-38-9 CAPLUS

CN 1H-Indole, 1-(phenylsulfonyl)-4-[2-(1-piperidinyl)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



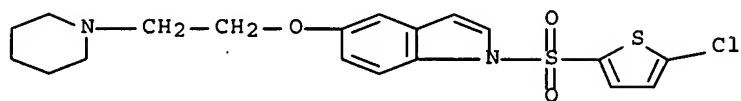
RN 444190-39-0 CAPLUS

CN 1H-Indole, 1-(phenylsulfonyl)-4-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



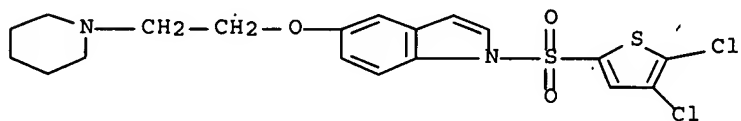
RN 825634-53-5 CAPLUS

CN 1H-Indole, 1-[(5-chloro-2-thienyl)sulfonyl]-5-[2-(1-piperidinyl)ethoxy]-  
(9CI) (CA INDEX NAME)



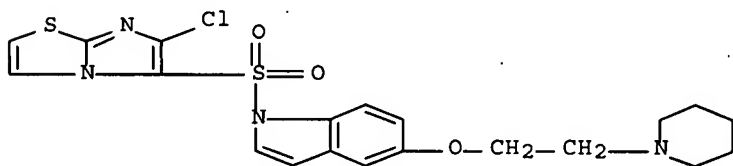
RN 825634-54-6 CAPLUS

CN 1H-Indole, 1-[(4,5-dichloro-2-thienyl)sulfonyl]-5-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



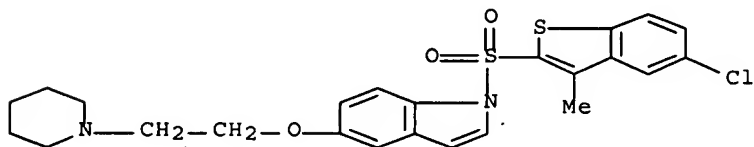
RN 825634-55-7 CAPLUS

CN 1H-Indole, 1-[(6-chloroimidazo[2,1-b]thiazol-5-yl)sulfonyl]-5-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 825634-56-8 CAPLUS

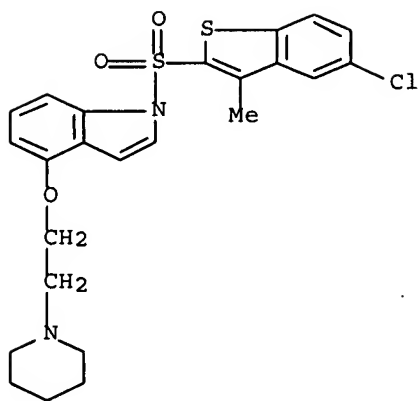
CN 1H-Indole, 1-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-5-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



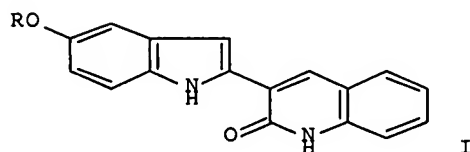
RN 825634-62-6 CAPLUS

CN 1H-Indole, 1-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-4-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)





L29 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
GI



AB A concise and efficient synthesis of the novel indol-2-yl-1H-quinolin-2-one ring system found in the known selective KDR kinase inhibitors I [R = (CH<sub>2</sub>)<sub>2</sub>OMe, (CH<sub>2</sub>)<sub>2</sub>NC<sub>5</sub>H<sub>10</sub>, (CH<sub>2</sub>)<sub>2</sub>NMe(CH<sub>2</sub>)<sub>2</sub>OMe] is presented.

AN 2003:753397 CAPLUS Full-text <<LOGINID::20070727>>

DN 139:350602

TI Rapid and Efficient Synthesis of 1H-Indol-2-yl-1H-quinolin-2-ones

AU Kueth, Jeffrey T.; Wong, Audrey; Davies, Ian W.

CS Department of Process Research, Merck Co. Inc., Rahway, NJ, 07065, USA

SO Organic Letters (2003), 5(21), 3975-3978

CODEN: ORLEF7; ISSN: 1523-7060

PB American Chemical Society

DT Journal

LA English

OS CASREACT 139:350602

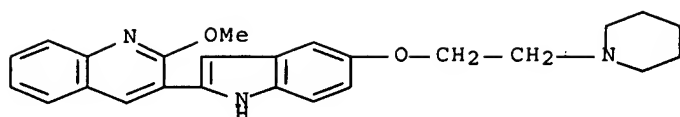
IT 616882-53-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Preparation of indolylquinolinones via palladium catalyzed reductive cyclization of intermediate quinoline substituted 2-nitrostyrenes)

RN 616882-53-2 CAPLUS

CN Quinoline, 2-methoxy-3-[5-[2-(1-piperidinyl)ethoxy]-1H-indol-2-yl]- (CA INDEX NAME)



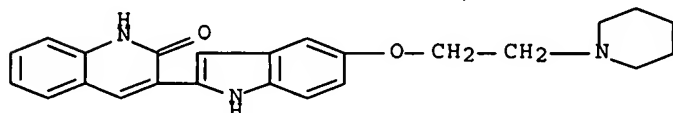
IT 335649-64-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(Preparation of indolylquinolinones via palladium catalyzed reductive cyclization of intermediate quinoline substituted 2-nitrostyrenes)

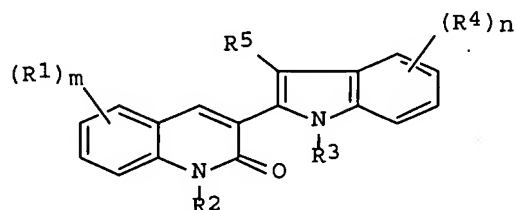
RN 335649-64-4 CAPLUS

CN 2(1H)-Quinolinone, 3-[5-[2-(1-piperidinyl)ethoxy]-1H-indol-2-yl]- (CA INDEX NAME)

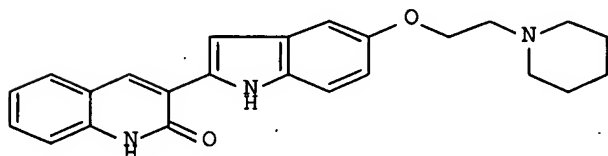


RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
GI



I



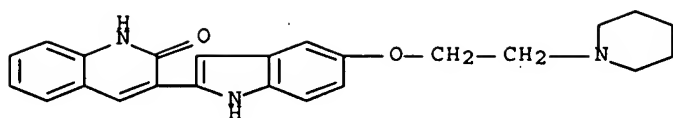
II

AB Title compds., including I (R groups undefined), were prep'd. and inhibitors, regulators, and/or modulators of tyrosine kinase signal transduction. For example, 1-(tert-butoxycarbonyl)-5-[(tert-butyltrimethylsilyl)oxy]-1H-indol-2-ylboronic acid was coupled with 2-chloro-3-iodoquinoline (preparation of starting materials given) in the presence of Pd(PPh<sub>3</sub>)<sub>4</sub> and K<sub>3</sub>PO<sub>4</sub> in dioxane to give the protected 3-(2-indolyl)quinoline derivative. Deprotection using triethylamine trihydrofluoride afforded the alc. Reaction with 1-(2-chloroethyl)piperidine•HCl and Cs<sub>2</sub>CO<sub>3</sub> in DMF followed by reflux at 110° in

AcOH and H2O for 12 h provided II. Compds. of the invention inhibited VEGF-stimulated mitogenesis of human vascular endothelial cells in culture with IC50 values between 0.01 µM - 5.0 µM. Thus, I and compns. containing I are useful for the treatment of tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, and the like in mammals (no data).

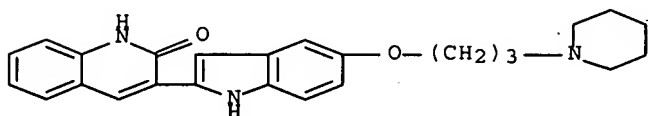
AN 2003:202621 CAPLUS Full-text <<LOGINID::20070727>>  
 DN 138:238027  
 TI Preparation of 3-(2-indolyl)quinolin-2(1H)-ones as tyrosine kinase inhibitors  
 IN Peckham, Jennifer P.; Hoffman, William F.; Arrington, Kenneth L.; Fraley, Mark E.; Hartman, George D.; Kim, Yuntae; Hanney, Barbara; Spencer, Keith L.  
 PA Merck & Co., Inc., USA  
 SO PCT Int. Appl., 143 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003020699	A2	20030313	WO 2002-US27114	20020826 <--
	WO 2003020699	A3	20030522		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2001-316123P	P 20010830
AU	2002323406	A1	20030318	AU 2002-323406	20020826 <--
				US 2001-316123P	P 20010830
				WO 2002-US27114	W 20020826
	US 2004235826	A1	20041125	US 2004-487589	20040224
	US 7186723	B2	20070306		
				US 2001-316123P	P 20010830
				WO 2002-US27114	W 20020826
IT	335649-64-4P, 3-[5-[2-(Piperidin-1-yl)ethoxy]-1H-indol-2-yl]-1H-quinolin-2-one 335649-68-8P, 3-[5-[3-(Piperidin-1-yl)propoxy]-1H-indol-2-yl]-1H-quinolin-2-one				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(tyrosine kinase inhibitor; preparation of (indolyl)quinolinones for treatment of cancer, atherosclerosis, inflammatory diseases, and other tyrosine kinase-dependent conditions)				
RN	335649-64-4 CAPLUS				
CN	2(1H)-Quinolinone, 3-[5-[2-(1-piperidinyl)ethoxy]-1H-indol-2-yl]- (CA INDEX NAME)				

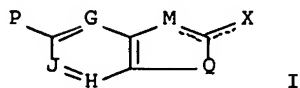


RN 335649-68-8 CAPLUS

CN 2(1H)-Quinolinone, 3-[5-[3-(1-piperidinyl)propoxy]-1H-indol-2-yl]- (9CI)  
(CA INDEX NAME)



L29 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
GI



AB Disclosed are novel compds. (shown as I; e.g. 1-benzyl-5-(2-diethylaminoethoxy)-2-methyl-1H-indole-3-carboxylic acid) or a physiol. acceptable salt, amide, ester or prodrug thereof. The compds. can be used to modulate (antagonize, agonize) chemokine receptor function. Also disclosed is a method for treating a patient having an inflammatory disease and/or viral infection comprising administering an effective amount of I. In particular embodiments, the invention is a method for treating a patient infected with HIV. The compds. of the present invention were evaluated using a described CCR-5 receptor binding assay. Particularly preferred compds. of the invention can inhibit the binding of sCD-4/GP-120 to CCR-5 by about fifty percent at a concentration of  $\leq$  .apprx.200  $\mu$ M ( $IC_{50} \leq 200 \mu$ M). For example the  $IC_{50}$  values for 1-[2-(3-benzyloxycarbonyl-2-methyl-1H-indol-5-yloxy)ethyl]-3-phenylpyrrolidinium chloride and 1-benzyl-5-(2-diethylaminoethoxy)-2-methyl-1H-indole-3-carboxylic acid benzyl ester were 18.0 and 18.2  $\mu$ M, resp. 2-Methyl-5-(2-pyrrolidine-1-ylethylamino)-1H-indole-3-carboxylic acid benzyl ester caused 50% inhibition at 17.5  $\mu$ M. 2-Methyl-5-(2-pyrrolidin-1-ylethyl)-1H-indole-3-carboxylic acid benzyl ester hydrochloride and 5-(2-dimethylaminoethoxy)-2-methyl-1H-indole-3-carboxylic acid (S)-1-phenylethyl ester had  $IC_{50}$ s of .apprx.4.8  $\mu$ M. 2-Methyl-5-[2-(methyl(tetrahydropyran-4-yl)amino)ethyl]-1H-indole-3-carboxylic acid benzyl ester had an  $IC_{50}$  of  $13.9 \pm 1.6 \mu$ M. 2-Methyl-5-(pyrrolidin-1-ylethoxy)-1H-indole-3-carboxylic acid benzyl ester, 2-methyl-5-(1-methyl-2-pyrrolidin-1-ylpropoxy)-1H-indole-3-carboxylic acid benzyl ester and 5-(2-diethylaminoethyl)-2-methyl-1H-indole-3-carboxylic acid benzyl ester hydrochloride had  $IC_{50}$ s of  $20.3 \pm 2.8 \mu$ M,  $5.52 \pm 1.1 \mu$ M and  $1.93 \pm 0.32 \mu$ M, resp. Preferred compds. can inhibit the binding of sCD-4/GP-120 to CCR-5 with  $IC_{50}$ s of .apprx.10  $\mu$ M to .apprx.100  $\mu$ M or .apprx.1 nM to .apprx.10  $\mu$ M. Although the methods of preparation are not

claimed, >200 example preps. are included. In I, G is CR1 or N; J is CR2 or N; H is CR3 or N; M is C-Y, CH-Y, N-Y or N; Q is NR4, SR4, O, SO or SO2. X is H, halogen, C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl, alkylheteroaryl, O, NR5, S, SR5 or NR5R6. Y is CO2R17, C(O)NR17R18, R19, C(O)R17, 3-R17-1,2,4-oxadiazol-5-yl, 5-R17-1,3,4-oxadiazol-2-yl. P is -A-L-N-containing heteroaryl, -A-L-substituted N-containing heteroaryl, -A-L-NR7R8, -(CR10R11)c-cyclo-CR9(CH2)a(CH2)bNR7, wherein a, b and c are independently, 0-4 with provisos; A is O, N(R12), a bond or is absent. L is C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl, alkylheteroaryl, a bond, or -C(R13)(R14)C(R15)(R16)- wherein A is attached on the right and N is attached on the left. R1, R2, R3, R11, R13, R14, R15, R16 and R19 are independently, H, C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl, alkylheteroaryl, halogen, C1-C8 alkoxy, C(O)R22, CO2R22, C(O)NR22R23, NR22R23, CZR22R23. Z is aryl, substituted aryl, heteroaryl or substituted heteroaryl; R22 and R23 are independently, H, C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl, alkylheteroaryl; or R22 and R23 taken together with the atoms to which they are bonded can form a 3-8 membered cyclic ring which can contain 0-3 heteroatoms selected from O, N and S. R4-R9, R12, R17 and R18 are independently, H, C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl, alkylheteroaryl, C(O)R20, CO2R20, CZ'R20R21; R20 and R21 are independently H, C1-C8 alkyl, substituted C1-C8 alkyl, C2-C8 alkenyl, substituted C2-C8 alkenyl, C2-C8 alkynyl, substituted C2-C8 alkynyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, alkylaryl, heteroarylalkyl or alkylheteroaryl; or R20 and R21 taken together with the atoms to which they are bonded can form a 3-8 membered cyclic ring which can contain 0-3 heteroatoms selected from O, N and S; Z' is aryl, substituted aryl, heteroaryl or substituted heteroaryl; or R1 taken together with any one of R7, R8, R9, R10, R11, R12, R13, R14, R15 or R16 and the atoms to which they are bonded form a substituted or unsubstituted 3-8 membered cyclic ring which can contain 0-3 heteroatoms selected from O, N and S. R2 taken together with any one of R3, R7, R8, R9, R10, R11, R12, R13, R14, R15 or R16 and the atoms to which they are bonded form a substituted or unsubstituted 3-8 membered cyclic ring which can contain 0-3 heteroatoms selected from O, N and S. P taken together with either R1 or R2 and the atoms to which they are bonded form a 5-8 membered substituted nonarom. ring that can contain a heteroatom selected from O, N and S. Any two of R7-R17, taken together with the atoms to which they are bonded form a substituted or unsubstituted 3-8 membered cyclic ring which can contain 0-3 heteroatoms selected from O, N and S; with provisos.

AN 2002:716249 CAPLUS Full-text <<LOGINID::20070727>>  
 DN 137:232553

TI Preparation of functionalized indoles, benzimidazolones and related heterocycles as modulators of CCR-5 chemokine receptor and use in treating patients with HIV

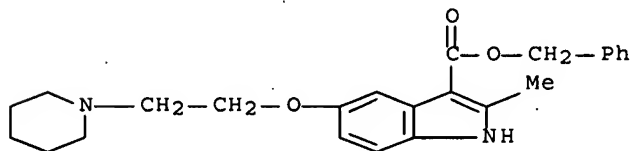
IN Harriman, Geraldine C. B.; Carson, Kenneth G.; Flynn, Daniel L.; Solomon, Michael E.; Song, Yuntao; Trivedi, Bharat K.; Roth, Bruce D.; Kolz, Christine N.; Pham, Ly; Sun, Kuai-Lin

PA Millennium Pharmaceuticals, Inc., USA; Warner-Lambert Company  
 SO PCT Int. Appl., 307 pp.

CODEN: PIXXD2

DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002072549	A1	20020919	WO 2002-US7559	20020312 <--
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW	
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
				US 2001-275248P	P 20010312
	AU 2002252313	A1	20020924	AU 2002-252313	20020312 <--
				US 2001-275248P	P 20010312
				WO 2002-US7559	W 20020312
	US 2003064991	A1	20030403	US 2002-96361	20020312 <--
	US 6951848	B2	20051004		
				US 2001-275248P	P 20010312
	EP 1377549	A1	20040107	EP 2002-721378	20020312
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	
				US 2001-275248P	P 20010312
				WO 2002-US7559	W 20020312
	US 2005075348	A1	20050407	US 2004-983929	20041108
				US 2001-275248P	P 20010312
				US 2002-96361	A1 20020312
OS	MARPAT 137:232553				
IT	459449-01-5P, 2-Methyl-5-(2-(piperidin-1-yl)ethoxy)-1H-indole-3-carboxylic acid benzyl ester				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of functionalized indoles, benzimidazolones and related heterocycles as modulators of CCR-5 chemokine receptor and use in treating patients with HIV)				
RN	459449-01-5 CAPLUS				
CN	1H-Indole-3-carboxylic acid, 2-methyl-5-[2-(1-piperidinyl)ethoxy]-, phenylmethyl ester (9CI) (CA INDEX NAME)				



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

AB R6Z2Z1Z(CR1R2)nNR3R4 [R1,R2 = H or alkyl; R3,R4 = H, alkyl, (hetero)aryl, etc.; R6 = (un)substituted alkyl or -(hetero)aryl; Z = O, SOO-2, (un)substituted imino; Z1 = e.g., (un)substituted indole- or -indazole-1,m-

diyl; Z2 = SO2, CO, CONH, CSNH, CH2; m = 4-7; n = 2-4] were prepared Thus, 4-hydroxyindole was converted in 4 steps to 2-(1-phenylsulfonyl-1H-indol-4-yloxy)ethanmaine. Data for biol. activity of title compds. were given.

AN 2002:575052 CAPLUS Full-text <<LOGINID::20070727>>

DN 137:125157

TI Preparation of 2-(1-phenylsulfonylindol- or -indazol-4-yloxy)ethanamines as 5-HT6 receptor ligands

IN Zhou, Ping; Kelly, Michael Gerard

PA Wyeth, John, and Brother Ltd., USA

SO PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002059088	A1	20020801	WO 2002-US1950	20020118 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2001-263425P	P 20010123
	TW 593278	B	20040621	TW 2001-90132299	20011226
				US 2001-263425P	P 20010123
	CA 2435566	A1	20020801	CA 2002-2435566	20020118 <--
				US 2001-263425P	P 20010123
				WO 2002-US1950	W 20020118
	AU 2002251811	A1	20020806	AU 2002-251811	20020118 <--
				US 2001-263425P	P 20010123
				WO 2002-US1950	W 20020118
	EP 1353904	A1	20031022	EP 2002-720837	20020118 <--
	EP 1353904	B1	20051207		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				US 2001-263425P	P 20010123
				WO 2002-US1950	W 20020118
	BR 2002006633	A	20040217	BR 2002-6633	20020118
				US 2001-263425P	P 20010123
				WO 2002-US1950	W 20020118
	CN 1498209	A	20040519	CN 2002-806757	20020118
				US 2001-263425P	P 20010123
	JP 2004521116	T	20040715	JP 2002-559390	20020118
				US 2001-263425P	P 20010123
				WO 2002-US1950	W 20020118
	HU 200401009	A2	20040830	HU 2004-1009	20020118
				US 2001-263425P	P 20010123
				WO 2002-US1950	W 20020118
	NZ 527051	A	20050729	NZ 2002-527051	20020118
				US 2001-263425P	P 20010123
				WO 2002-US1950	W 20020118
	AT 312078	T	20051215	AT 2002-720837	20020118
				US 2001-263425P	P 20010123
				WO 2002-US1950	W 20020118
	ES 2250638	T3	20060416	ES 2002-2720837	20020118
				US 2001-263425P	P 20010123

MX 2003PA06479	A	20030922	MX 2003-PA6479		20030718 <--
			US 2001-263425P	P	20010123
			WO 2002-US1950	W	20020118
NO 2003003300	A	20030903	NO 2003-3300		20030722 <--
			US 2001-263425P	P	20010123
			WO 2002-US1950	W	20020118
ZA 2003006542	A	20051121	ZA 2003-6542		20030821
			US 2001-263425P	P	20010123

PATENT FAMILY INFORMATION:

FAN 2005:34600

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005009819	A1	20050113	US 2004-888810	20040709
				US 2001-263425P	P 20010123
				US 2002-55365	A3 20020122
				US 2002-314726	A3 20021209
				US 2003-695490	A2 20031028
	US 6509357	B1	20030121	US 2002-55365	20020122
				US 2001-263425P	P 20010123
	US 2003149018	A1	20030807	US 2002-314726	20021209
	US 6710069	B2	20040323		
				US 2001-263425P	P 20010123
				US 2002-55365	A3 20020122
	US 2004092564	A1	20040513	US 2003-695490	20031028
				US 2001-263425P	P 20010123
				US 2002-55365	A3 20020122
				US 2002-314726	A3 20021209
	US 2004087637	A1	20040506	US 2003-696433	20031029
	US 6919354	B2	20050719		
				US 2001-263425P	P 20010123
				US 2002-55365	A3 20020122
				US 2002-314726	A3 20021209

OS MARPAT 137:125157

IT 444190-38-9P 444190-39-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

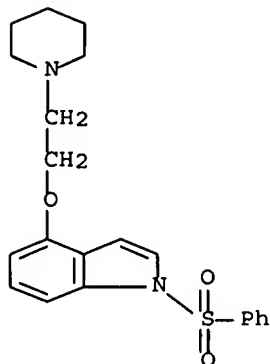
(preparation of 2-(1-phenylsulfonylindol- or -indazol-4-yloxy)ethanamines

as

5-HT6 receptor ligands)

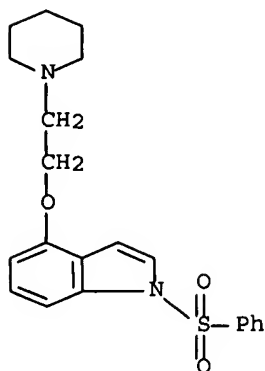
RN 444190-38-9 CAPLUS

CN 1H-Indole, 1-(phenylsulfonyl)-4-[2-(1-piperidinyl)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)





RN 444190-39-0 CAPLUS  
CN 1H-Indole, 1-(phenylsulfonyl)-4-[2-(1-piperidinyl)ethoxy]-(9CI) (CA  
INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

AB The invention relates to methods of treating cancer using a combination of a compound which is a PSA conjugate and a compound which is an inhibitor of angiogenesis and to methods of preparing such compns. The PSA conjugate comprises an oligopeptide that is selectively cleaved by PSA and a cytotoxic agents. An example of a PSA conjugate is N-Ac-(4-trans-L-Hyp)-Ala-Ser-Chg-Gln-Ser-Leu-Dox (Dox = doxorubicin, Hyp = hydroxyproline, Chg = cyclohexylglycine) and 3-(3-thienyl)-6-(4-methoxyphenyl)pyrazolo[1,5-alpyrimidine is an example of an angiogenesis inhibitor (syntheses given).

AN 2002:276430 CAPLUS Full-text <<LOGINID::20070727>>

DN 136:310187

TI Treatment of cancer with a prostate specific antigen (PSA) conjugate and an inhibitor of angiogenesis

IN Defeo-Jones, Deborah; Heimbrook, David C.; Jones, Raymond E.

PA USA

SO U.S. Pat. Appl. Publ., 102 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002041880	A1	20020411	US 2001-896251	20010629 <--
				US 2000-215934P	P 20000705

OS MARPAT 136:310187

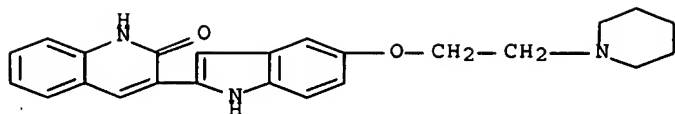
IT 335649-64-4P 335649-68-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(treatment of cancer with a prostate specific antigen (PSA) conjugate and an inhibitor of angiogenesis)

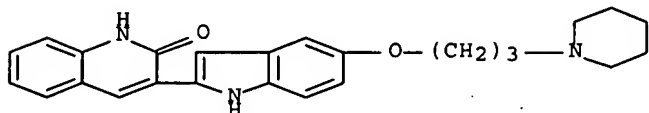
RN 335649-64-4 CAPLUS

CN 2(1H)-Quinolinone, 3-[5-[2-(1-piperidinyl)ethoxy]-1H-indol-2-yl]- (CA  
INDEX NAME)

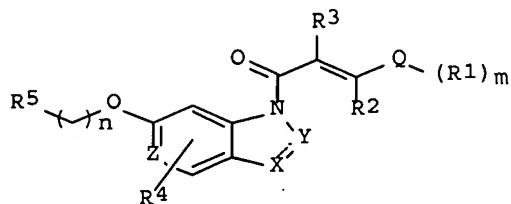


RN 335649-68-8 CAPLUS

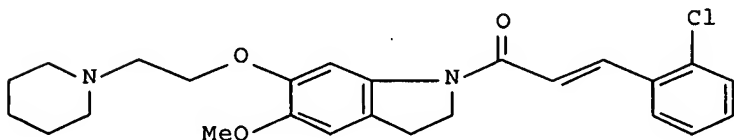
CN 2(1H)-Quinolinone, 3-[5-[3-(1-piperidinyl)propoxy]-1H-indol-2-yl] - (9CI)  
(CA INDEX NAME)



L29 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
GI



I



II

AB The invention relates to novel cinnamide compds., which have 5-HT<sub>2C</sub> antagonist activity, of formula I, or pharmaceutically acceptable salts thereof [in which: ring Q is Ph or naphthyl; R<sub>1</sub> is halo, C<sub>1</sub>-6 alkyl, C<sub>1</sub>-6 alkoxy, C<sub>1</sub>-6 alkylthio, OH, (di) (C<sub>1</sub>-6alkyl)amino, NO<sub>2</sub>, CN, CF<sub>3</sub>, OCF<sub>3</sub>, aryl, arylC<sub>1</sub>-6alkyl, arylC<sub>1</sub>-6alkyloxy or arylC<sub>1</sub>-6alkylthio; m is 0-5; R<sub>2</sub> and R<sub>3</sub> are independently H or C<sub>1</sub>-6alkyl; R<sub>4</sub> is H, halo, C<sub>1</sub>-6alkyl, C<sub>1</sub>-6alkoxy, aryl, cyano, haloC<sub>1</sub>-6alkyl or OCF<sub>3</sub>; Z is C or N; R<sub>5</sub> is either: (i) a group NR<sub>6</sub>R<sub>7</sub> where R<sub>6</sub> and R<sub>7</sub> are independently H, (un)substituted C<sub>1</sub>-6alkyl; or (ii) (un)substituted N-linked heterocycle; or (iii) an (un)substituted C-linked heterocycle; n = 0-3, provided that n is not 0 when R<sub>5</sub> is a group (i) or (ii); dashed line is an optional double bond, where X and Y are independently CR<sub>8</sub>R<sub>9</sub> (when single bond) or CR<sub>10</sub> (when double bond); wherein R<sub>8</sub>, R<sub>9</sub> and R<sub>10</sub> are independently H or C<sub>1</sub>-6alkyl]. Also disclosed are processes for preparation of I, compns. containing them, and their use in the treatment of CNS and other disorders. In

particular, their use for treating anxiety and/or depression is claimed. A total of 171 examples and 73 intermediate preps. are given. For instance, 2-methoxy-5-nitrophenol was etherified with 1-(2-chloroethyl)piperidine- HCl (70%), followed by hydrogenation of nitro to amino (100%), reductive alkylation of amino with (MeO)2CHCHO (88%), cyclization to form an indole (73%), reduction to give an indoline (72%), and N-coupling with 2-chlorocinnamic acid (40%), to give preferred (as HCl salt) invention compound (E)-II. In a test for inhibition of [3H]-mesulergine binding at human 5-HT2C clones expressed in HEK 293 cells in vitro, I had pKi values in the range of 7.5-9.8.

AN 2002:142668 CAPLUS Full-text <<LOGINID::20070727>>

DN 136:183704

TI Indoline derivatives as 5-HT2C antagonists, useful as anxiolytics and antidepressants

IN Bromidge, Steven Mark; Lovell, Peter John; Moss, Stephen Frederick; Serafinowska, Halina Teresa

PA Smithkline Beecham P.L.C., UK

SO PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002014273	A1	20020221	WO 2001-EP9273	20010809 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				GB 2000-19950	A 20000812
AU	2001095455	A5	20020225	AU 2001-95455	20010809 <--
				GB 2000-19950	A 20000812
				WO 2001-EP9273	W 20010809
EP	1309551	A1	20030514	EP 2001-976067	20010809 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				GB 2000-19950	A 20000812
				WO 2001-EP9273	W 20010809
JP	2004506040	T	20040226	JP 2002-519418	20010809
				GB 2000-19950	A 20000812
				WO 2001-EP9273	W 20010809

OS MARPAT 136:183704

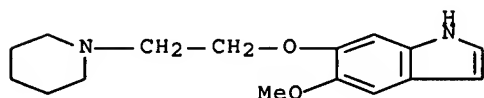
IT 399579-85-2P, 5-Methoxy-6-[2-(piperidin-1-yl)ethoxy]-1H-indole  
 399579-88-5P, 5-Methoxy-6-[2-(piperidin-1-yl)ethoxy]-2,3-dihydro-1H-indole  
 399580-30-4P, 5-Bromo-6-[2-(piperidin-1-yl)ethoxy]-2,3-dihydro-1H-indole  
 399580-36-0P, 6-[2-(Piperidin-1-yl)ethoxy]-2,3-dihydro-1H-indole-5-carbonitrile  
 399580-37-1P, 5-Ethyl-6-[2-(piperidin-1-yl)ethoxy]-2,3-dihydro-1H-indole  
 399580-38-2P, 6-[2-(Piperidin-1-yl)ethoxy]-5-trifluoromethyl-2,3-dihydro-1H-indole  
 399580-45-1P, 5-Fluoro-6-[2-(piperidin-1-yl)ethoxy]-1H-indole  
 399580-46-2P, 5-Fluoro-6-[2-(piperidin-1-yl)ethoxy]-2,3-dihydro-1H-indole  
 399580-75-7P, 6-[2-(Piperidin-1-yl)ethoxy]-2,3-dihydro-1H-indole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of indoline derivs. as 5-HT<sub>2C</sub> antagonists)

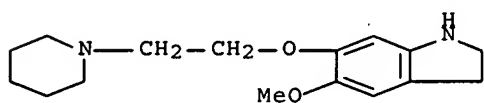
RN 399579-85-2 CAPLUS

CN 1H-Indole, 5-methoxy-6-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



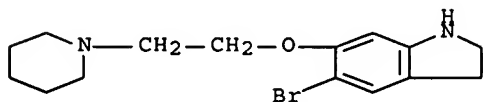
RN 399579-88-5 CAPLUS

CN 1H-Indole, 2,3-dihydro-5-methoxy-6-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



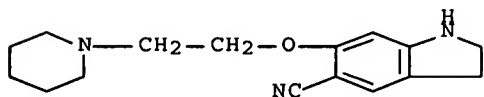
RN 399580-30-4 CAPLUS

CN 1H-Indole, 5-bromo-2,3-dihydro-6-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



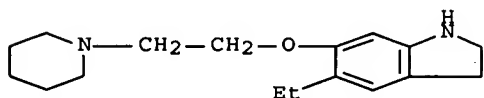
RN 399580-36-0 CAPLUS

CN 1H-Indole-5-carbonitrile, 2,3-dihydro-6-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)

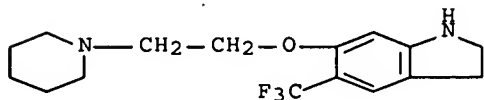


RN 399580-37-1 CAPLUS

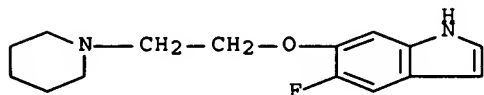
CN 1H-Indole, 5-ethyl-2,3-dihydro-6-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



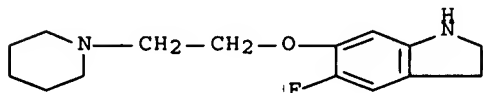
RN 399580-38-2 CAPLUS  
CN 1H-Indole, 2,3-dihydro-6-[2-(1-piperidinyl)ethoxy]-5-(trifluoromethyl)-  
(9CI) (CA INDEX NAME)



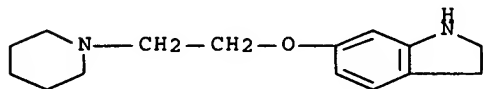
RN 399580-45-1 CAPLUS  
CN 1H-Indole, 5-fluoro-6-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 399580-46-2 CAPLUS  
CN 1H-Indole, 5-fluoro-2,3-dihydro-6-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 399580-75-7 CAPLUS  
CN 1H-Indole, 2,3-dihydro-6-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

AB The novel lead bis(1H-2-indolyl)methanone inhibits autophosphorylation of platelet-derived growth factor (PDGF) receptor tyrosine kinase in intact cells. Various substituents in the 5- or 6-position of one indole ring increase or preserve potency, whereas most modifications of the ring structures and of the methanone group as well as substitution at both indoles result in weak or no activity. An ATP binding site model, derived by homol. from the FGFR-1 tyrosine kinase crystal structure suggesting hydrogen bonds of one indole NH and the methanone oxygen with the backbone carbonyl and amide,

resp., of Cys684, explains why only one indole moiety is open for substitution and locates groups in the 5- or 6-position outside the pocket. Some of the most active derivs., inhibit both isoforms of the PDGF receptor kinase in intact cells, with IC50 of 0.1-0.3  $\mu$ M, and purified PDGF $\beta$ -receptor in vitro, with IC50 of 0.09, 0.1, or 0.02  $\mu$ M, resp. PDGF-stimulated DNA synthesis is inhibited by these derivs. with IC50 values of 1-3  $\mu$ M. Kinetic anal. of one compound showed an ATP-competitive mode of inhibition. The compds. are inactive or weakly active toward a number of other tyrosine kinases, including the FGF receptor 1, EGF receptor, and c-Src kinase, as well as toward serine-threonine kinases, including different PKC isoforms and GRK2, and appear therefore selective for PDGF receptor inhibition.

AN 2002:80889 CAPLUS Full-text <<LOGINID::20070727>>

DN 136:272658

TI Bis(1H-2-indolyl)methanones as a Novel Class of Inhibitors of the Platelet-Derived Growth Factor Receptor Kinase

AU Mahboobi, Siavosh; Teller, Steffen; Pongratz, Herwig; Hufsky, Harald; Sellmer, Andreas; Botzki, Alexander; Uecker, Andrea; Beckers, Thomas; Baasner, Silke; Schaechtele, Christoph; Ueberall, Florian; Kassack, Matthias U.; Dove, Stefan; Boehmer, Frank-D.

CS Faculty of Chemistry and Pharmacy, Institute of Pharmacy, University of Regensburg, Regensburg, D-93040, Germany

SO Journal of Medicinal Chemistry (2002), 45(5), 1002-1018

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 136:272658

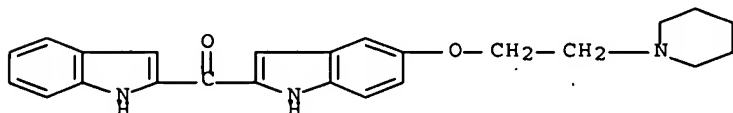
IT 249762-71-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn and structure-activity relationship study of bis(1H-2-indolyl)methanones, a novel class of inhibitors of platelet-derived growth factor receptor kinase)

RN 249762-71-8 CAPLUS

CN Methanone, 1H-indol-2-yl [5-[2-(1-piperidinyl)ethoxy]-1H-indol-2-yl]- (9CI)  
(CA INDEX NAME)



RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
GI



AB Title compds. [I; R = (CH<sub>3</sub>)<sub>2</sub>NCH<sub>2</sub>CH(CH<sub>3</sub>)CH<sub>2</sub>O, (CH<sub>3</sub>OCH<sub>2</sub>CH<sub>2</sub>)(C<sub>6</sub>H<sub>5</sub>CH<sub>2</sub>)NCH<sub>2</sub>CH<sub>2</sub>O, (CH<sub>3</sub>CH<sub>2</sub>)<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>O, (CH<sub>3</sub>)(C<sub>6</sub>H<sub>5</sub>CH<sub>2</sub>)NCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O, (CH<sub>3</sub>OCH<sub>2</sub>CH<sub>2</sub>)(HOOCCH<sub>2</sub>CH<sub>2</sub>)NCH<sub>2</sub>CH<sub>2</sub>O, (CH<sub>3</sub>OCH<sub>2</sub>CH<sub>2</sub>)(CH<sub>3</sub>SO<sub>2</sub>)NCH<sub>2</sub>, cycloalkylaminoalkyl, heterocyclylalkyl, etc.], stereoisomer, and pharmaceutically acceptable salts are prepared and inhibit, regulate and/or modulate tyrosine kinase signal transduction. Title compds. are tested on VEGF-stimulated mitogenesis of human vascular endothelial cells in culture with IC<sub>50</sub> values between 0.001-5.0 μM. Pharmaceutical compns. and methods of using them to treat tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, etc. are discussed. Thus, the title compound II was prepared

AN 2001:300706 CAPLUS Full-text <<LOGINID::20070727>>

DN 134:326411

TI Preparation of 3-(2-indolyl)quinoline-2-one derivatives as tyrosine kinase inhibitors

IN Arrington, Kenneth L.; Bilodeau, Mark T.; Fraley, Mark E.; Hartman, George D.; Hoffman, William F.; Hungate, Randall W.; Kim, Yuntae

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 130 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001029025	A2	20010426	WO 2000-US28625	20001016 <--
	WO 2001029025	A3	20011101		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				US 1999-160356P	P 19991019
	CA 2387351	A1	20010426	CA 2000-2387351	20001016 <--
				US 1999-160356P	P 19991019
				WO 2000-US28625	W 20001016
	BR 2000014843	A	20020611	BR 2000-14843	20001016 <--
				US 1999-160356P	P 19991019

EP 1226136	A2	20020731	WO 2000-US28625	W	20001016
EP 1226136	B1	20041229	EP 2000-978230		20001016 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
			US 1999-160356P	P	19991019
			WO 2000-US28625	W	20001016
TR 200201051	T2	20020923	TR 2002-1051		20001016 <--
			US 1999-160356P	P	19991019
HU 200203323	A2	20030228	HU 2002-3323		20001016 <--
			US 1999-160356P	P	19991019
			WO 2000-US28625	W	20001016
JP 2003512369	T	20030402	JP 2001-531825		20001016 <--
JP 3822494	B2	20060920			
			US 1999-160356P	P	19991019
			WO 2000-US28625	W	20001016
EE 200200201	A	20030616	EE 2002-201		20001016 <--
			US 1999-160356P	P	19991019
			WO 2000-US28625	W	20001016
NZ 518001	A	20040528	NZ 2000-518001		20001016
			US 1999-160356P	P	19991019
			WO 2000-US28625	W	20001016
AU 778588	B2	20041209	AU 2001-15710		20001016
			US 1999-160356P	P	19991019
			WO 2000-US28625	W	20001016
AT 286045	T	20050115	AT 2000-978230		20001016
			US 1999-160356P	P	19991019
			WO 2000-US28625	W	20001016
PT 1226136	T	20050429	PT 2000-978230		20001016
			US 1999-160356P	P	19991019
ES 2234698	T3	20050701	ES 2000-978230		20001016
			US 1999-160356P	P	19991019
US 6306874	B1	20011023	US 2000-690598		20001017 <--
			US 1999-160356P	P	19991019
TW 239957	B	20050921	TW 2000-89121943		20001019
			US 1999-160356P	P	19991019
			US 2000-690598	A	20001017
ZA 2002002985	A	20030416	ZA 2002-2985		20020416 <--
			US 1999-160356P	P	19991019
NO 2002001820	A	20020523	NO 2002-1820		20020418 <--
			US 1999-160356P	P	19991019
			WO 2000-US28625	W	20001016
MX 2002PA03887	A	20020930	MX 2002-PA3887		20020418 <--
			US 1999-160356P	P	19991019
			WO 2000-US28625	W	20001016
US 6794393	B1	20040921	US 2002-110872		20020418
			US 1999-160356P	P	19991019
			WO 2000-US28625	W	20001016
BG 106710	A	20030331	BG 2002-106710		20020516 <--
			US 1999-160356P	P	19991019
			WO 2000-US28625	W	20001016
HK 1054931	A1	20060317	HK 2003-107148		20031003
			US 1999-160356P	P	19991019
			WO 2000-US28625	W	20001016
US 2005096344	A1	20050505	US 2004-900662		20040728
			US 1999-160356P	P	19991019
			WO 2000-US28625	W	20001016
			US 2002-110872	A1	20020418
JP 2006206609	A	20060810	JP 2006-127244		20060501
			US 1999-160356P	P	19991019



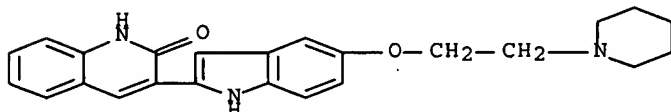
OS MARPAT 134:326411

IT 335649-64-4P 335649-68-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 3-(2-indolyl)quinoline-2-one derivs. as tyrosine kinase inhibitors)

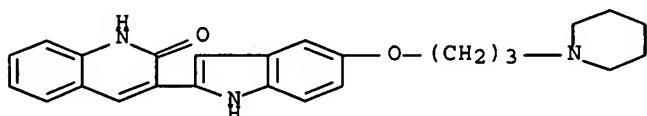
RN 335649-64-4 CAPLUS

CN 2(1H)-Quinolinone, 3-[5-[2-(1-piperidinyl)ethoxy]-1H-indol-2-yl]- (CA INDEX NAME)

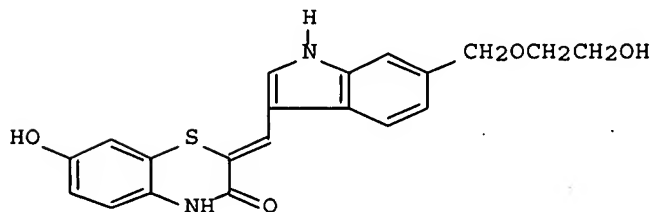
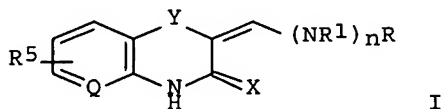


RN 335649-68-8 CAPLUS

CN 2(1H)-Quinolinone, 3-[5-[3-(1-piperidinyl)propoxy]-1H-indol-2-yl]- (9CI)  
 (CA INDEX NAME)



L29 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
 GI



AB Title compds. [I; Q = N, CR2; X = S, O, NOR3; Y = S, O, SO, SO2; R, R1 independently = H, aliphatic, aryl, heterocyclyl; R2 = H, CH3; R3 = H, COR4; R4 = alkyl, alkenyl, alkynyl, aryl; n = 0, 1; R5 = 7-Cl, 7-CH3, 6-CF3, 6-CH3, 6-Cl, 7-OCH3, 6-CH3CONH, 7-OH, etc.] are prepared Title compds. and physiol. acceptable salts are inhibitors of receptor tyrosine kinase or non-receptor tyrosine kinase activity which involve in angiogenic process. Thus, title compds. can ameliorate disease states where angiogenesis or endothelial cell hyperproliferation is a factor and can be used to treat cancer and hyperproliferative disorders. Title compound II was prepared

AN 2000:881149 CAPLUS Full-text <<LOGINID::20070727>> .

DN 134:42147

TI Preparation and effects of benzothiazinones and benzoxazinones as protein kinase inhibitors

IN Rafferty, Paul; Calderwood, David; Arnold, Lee D.; Gonzalez Pascual, Beatriz; Ortego Matinez, Jose L.; Perez de Vega, Maria J.; Fernandez, Isabel F.

PA Basf Aktiengesellschaft, Germany

SO PCT Int. Appl., 183 pp:

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000075139	A2	20001214	WO 2000-US15324	20000602 <--
	WO 2000075139	A3	20010329		
	W: AU, BG, BR, CA, CN, CZ, HR, HU, ID, IL, IN, JP, KR, MX, NO, NZ, PL, RU, SG, SK, TR, UA, US, ZA				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1999-137410P	P 19990603
	CA 2375734	A1	20001214	CA 2000-2375734	20000602 <--
				US 1999-137410P	P 19990603
				WO 2000-US15324	W 20000602
	EP 1181282	A2	20020227	EP 2000-936476	20000602 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
				US 1999-137410P	P 19990603
				WO 2000-US15324	W 20000602
	BR 2000011063	A	20020416	BR 2000-11063	20000602 <--
				US 1999-137410P	P 19990603
				WO 2000-US15324	W 20000602
	JP 2003501429	T	20030114	JP 2001-502421	20000602 <--
				US 1999-137410P	P 19990603
				WO 2000-US15324	W 20000602
	CN 1636005	A	20050706	CN 2000-811113	20000602
				US 1999-137410P	P 19990603
	US 7049312	B1	20060523	US 2000-585925	20000602
				US 1999-137410P	P 19990603
	ZA 2001009610	A	20030221	ZA 2001-9610	20011121 <--
				US 1999-137410P	P 19990603
	IN 2001MN01479	A	20050401	IN 2001-MN1479	20011123
				US 1999-137410P	P 19990603
				WO 2000-US15324	W 20000602
	NO 2001005899	A	20020130	NO 2001-5899	20011203 <--
				US 1999-137410P	P 19990603
				WO 2000-US15324	W 20000602
	MX 2001PA12442	A	20040910	MX 2001-PA12442	20011203
				US 1999-137410P	P 19990603
				WO 2000-US15324	W 20000602

BG 106238

A

20020830

BG 2001-106238

20011219 &lt;--

US 1999-137410P

P 19990603

WO 2000-US15324

W 20000602

OS MARPAT 134:42147

IT 312970-99-3P 312971-09-8P

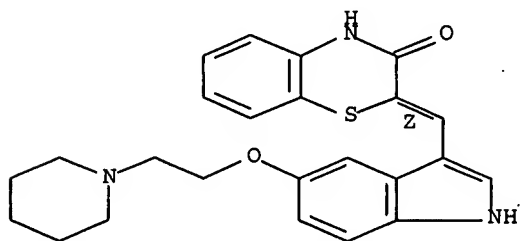
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and effects of benzothiazinones and benzoxazinones as protein kinase inhibitors)

RN 312970-99-3 CAPLUS

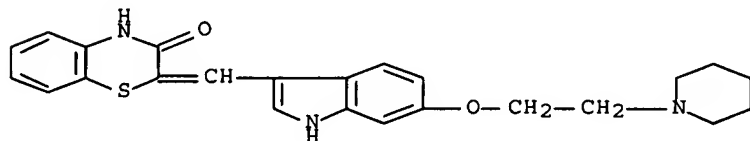
CN 2H-1,4-Benzothiazin-3(4H)-one, 2-[[5-[2-(1-piperidinyl)ethoxy]-1H-indol-3-yl]methylene]-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

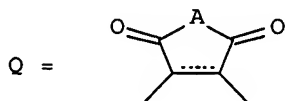
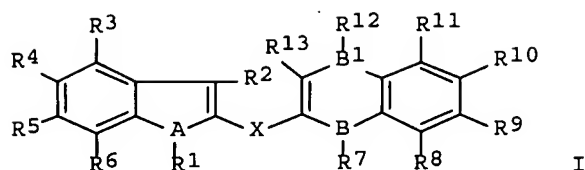


RN 312971-09-8 CAPLUS

CN 2H-1,4-Benzothiazin-3(4H)-one, 2-[[6-[2-(1-piperidinyl)ethoxy]-1H-indol-3-yl]methylene]- (9CI) (CA INDEX NAME)



L29 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
GI



AB Indole derivs. I [A = N, O, S; B, B1 = C, N, O, S, bond; X = (un)substituted alkylene, Q; R1, R7, R12 = H, alkyl, aminoalkyl, PhSO2, alkylsilylmethoxymethyl, carbohydrate; R3-R6, R8-R11 = H, (un)substituted alkyl, alkoxy, acyloxy, NO2, halogen; R2R13 = bond, CO, Q; R2, R13 = H, QR14; R14 = halogen, substituted alkylamino] were prepared for use as tyrosine kinase inhibitors in treating malignant and other diseases caused by pathol. cell proliferation. Thus, 1-phenylsulfonylindole was added to 1-phenylsulfonyl-2-indolecarboxaldehyde to give bis(1-phenylsulfonylindol- 2-yl)methanol which was oxidized to the ketone and desulfonylated to give bis(2-indolyl)methanone. This compound had an IC50 of 1  $\mu$ M for inhibition of tyrosine phosphorylation.

AN 1999:723034 CAPLUS Full-text <<LOGINID::20070727>>

DN 131:336939

TI Indole derivatives and their use in the treatment of malignant and other diseases caused by pathological cell proliferation

IN Mahboobi, Siavosh; Kuhr, Sabine; Pongratz, Herwig; Popp, Alfred; Hufsky, Harald; Bohmer, Frank-d; Teller, Steffen; Uecker, Andrea; Beckers, Thomas

PA Asta Medica Aktiengesellschaft, Germany

SO PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9957117	A2	19991111	WO 1999-DE1214	19990422 <--
	WO 9957117	A3	20010412		
	W: AU, BG, BR, BY, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, UZ, YU, ZA, AM, AZ, MD, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				DE 1998-19819835	A 19980504
				DE 1998-19838506	A 19980825
	DE 19838506	A1	19991111	DE 1998-19838506	19980825 <--
	DE 19838506	C2	20000831		
				DE 1998-19819835	A1 19980504
	CA 2330756	A1	19991111	CA 1999-2330756	19990422 <--
				DE 1998-19819835	A 19980504
				DE 1998-19838506	A 19980825
				WO 1999-DE1214	W 19990422
	CA 2496859	A1	19991111	CA 1999-2496859	19990422 <--
				DE 1998-19819835	A 19980504

			DE 1998-19838506	A	19980825	
			CA 1999-2330756	A3	19990422	
AU 9944975	A	19991123	AU 1999-44975		19990422	<--
AU 752464	B2	20020919				
			DE 1998-19819835	A	19980504	
			DE 1998-19838506	A	19980825	
			WO 1999-DE1214	W	19990422	
BR 9911017	A	20010206	BR 1999-11017		19990422	<--
			DE 1998-19819835	A	19980504	
			DE 1998-19838506	A	19980825	
			WO 1999-DE1214	W	19990422	
EP 1109785	A2	20010627	EP 1999-927711		19990422	<--
EP 1109785	B1	20030102				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO						
			DE 1998-19819835	A	19980504	
			DE 1998-19838506	A	19980825	
			WO 1999-DE1214	W	19990422	
TR 200003206	T2	20010723	TR 2000-200003206		19990422	<--
			DE 1998-19819835	A	19980504	
			DE 1998-19838506	A	19980825	
HU 200102563	A2	20011128	HU 2001-2563		19990422	<--
			DE 1998-19819835	A	19980504	
			DE 1998-19838506	A	19980825	
			WO 1999-DE1214	W	19990422	
JP 2002514572	T	20020521	JP 2000-547087		19990422	<--
			DE 1998-19819835	A	19980504	
			DE 1998-19838506	A	19980825	
			WO 1999-DE1214	W	19990422	
AT 230394	T	20030115	AT 1999-927711		19990422	<--
			DE 1998-19819835	A	19980504	
			DE 1998-19838506	A	19980825	
			WO 1999-DE1214	W	19990422	
ES 2190221	T3	20030716	ES 1999-927711		19990422	<--
			DE 1998-19819835	A	19980504	
			DE 1998-19838506	A	19980825	
RU 2225396	C2	20040310	RU 2000-130705		19990422	
			DE 1998-19819835	A	19980504	
			WO 1999-DE1214	W	19990422	
US 6407102	B1	20020618	US 1999-305115		19990504	<--
			DE 1998-19819835	A	19980504	
			DE 1998-19838506	A	19980825	
IN 2000KN00406	A	20050311	IN 2000-KN406		20001016	
			DE 1998-19819835	A	19980504	
			DE 1998-19838506	A	19980825	
			WO 1999-DE1214	W	19990422	
NZ 507735	A	20030429	NZ 2000-507735		20001024	<--
			DE 1998-19819835	A	19980504	
			DE 1998-19838506	A	19980825	
			WO 1999-DE1214	W	19990422	
NO 2000005448	A	20001027	NO 2000-5448		20001027	<--
NO 317261	B1	20040927				
			DE 1998-19819835	A	19980504	
			DE 1998-19838506	A	19980825	
			WO 1999-DE1214	W	19990422	
MX 2000PA10739	A	20010507	MX 2000-PA10739		20001031	<--
			DE 1998-19819835	A	19980504	
			WO 1999-DE1214	W	19990422	
ZA 2000006152	A	20020508	ZA 2000-6152		20001031	<--
			DE 1998-19819835	A	19980504	

BG 104996	A	20010731	BG 2000-104996	20001128 <--
			DE 1998-19819835	A 19980504
			DE 1998-19838506	A 19980825
			WO 1999-DE1214	W 19990422
HK 1038354	A1	20041203	HK 2001-109122	20011227
			DE 1998-19819835	A 19980504
			DE 1998-19838506	A 19980825
			WO 1999-DE1214	A 19990422
US 2003008898	A1	20030109	US 2002-137653	20020503 <--
US 6812243	B2	20041102		
			DE 1998-19819835	A 19980504
			DE 1998-19838506	A 19980825
			US 1999-305115	A3 19990504

OS MARPAT 131:336939

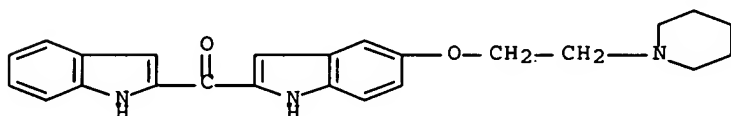
IT 249762-71-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

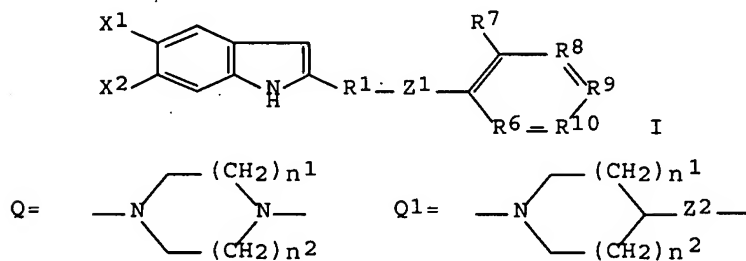
(preparation of bis(indolyl)methane derivs. as tyrosine kinase inhibitors)

RN 249762-71-8 CAPLUS

CN Methanone, 1H-indol-2-yl[5-[2-(1-piperidinyl)ethoxy]-1H-indol-2-yl]- (9CI)  
(CA INDEX NAME)



L29 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
GI



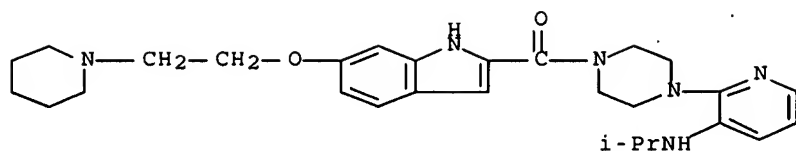
AB Indole derivs. I [R1 = CH2, CO; Z1 = Q (n1, n2 = 1, 2), Q1 (Z2 = C1-4 alkylimino; n1, n2 = 1, 2); X1, X2 = H, alkoxy, O(CH2CH2O)1-3X3 (X3 = alkyl, pyridyl), N-(un)substituted aminoalkanesulfonyloxy, substituted NH2, etc.; ring containing R6, R8, R9, R10 = e.g., substituted pyridyl] were prepared for treatment of AIDS (no data). Thus, 1-ethyl-3-(dimethylaminopropyl)carbodiimide is added to a solution of 1-[3-[(1-methylethyl)amino]-2-pyridinyl]piperazine and 5-nitroindole-2-carboxylic acid in THF to give 1-[5-nitroindolyl-2-carbonyl]-4-[3-[(1-methylethyl)amino]-2-pyridinyl]piperazine. After the coupling reaction, intermediate reaction steps involved nitro-group reduction and N-ethenesulfonation. Finally, reaction of pyrrolidine with the resulting

(indolylcarbonyl)pyridinylpiperazine I [R1 = CO, Z1 = Q1 (n1 = n2 = 1), R6 = N, R10 = R9 = R8 = CH, R7 = NHPr-iso, X1 = CH2:CHSO2NH, X2 = H] in the presence of catalytic Cu in 5 mL refluxing xylene afforded I [X1 = 2-(1-pyrrolidino)ethylsulfonamido; R1, X1, X2, Z1, R7 - R10 = same as above].

AN 1993:254749 CAPLUS Full-text <<LOGINID::20070727>>  
 DN 118:254749  
 TI Preparation of substituted indoles as anti-AIDS pharmaceuticals  
 IN Romero, Donna Lee; Thomas, Richard Charles  
 PA Upjohn Co., USA  
 SO PCT Int. Appl., 66 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9301181	A1	19930121	WO 1992-US5067	19920623 <--
	W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	AU 9222934	A	19930211	US 1991-725053	A2 19910703
	AU 653855	B2	19941013	AU 1992-22934	19920623 <--
				US 1991-725053	A 19910703
				WO 1992-US5067	A 19920623
	EP 594702	A1	19940504	EP 1992-915109	19920623 <--
	EP 594702	B1	19970129		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
				US 1991-725053	A 19910703
				WO 1992-US5067	W 19920623
	JP 06509098	T	19941013	JP 1992-502222	19920623 <--
				US 1991-725053	A 19910703
				WO 1992-US5067	W 19920623
	AT 148464	T	19970215	AT 1992-915109	19920623 <--
				US 1991-725053	A 19910703
	ES 2097341	T3	19970401	ES 1992-915109	19920623 <--
				US 1991-725053	A 19910703
	JP 3119485	B2	20001218	JP 1993-502222	19920623 <--
				US 1991-725053	A 19910703
				WO 1992-US5067	W 19920623
	CA 2109934	C	20021210	CA 1992-2109934	19920623 <--
				US 1991-725053	A 19910703
				WO 1992-US5067	W 19920623
	US 5599930	A	19970204	US 1994-197589	19940217 <--
				US 1991-725053	B2 19910703
				US 1993-176030	B1 19931230
	US 5686610	A	19971111	US 1996-711822	19960910 <--
				US 1991-725053	B2 19910703
				US 1993-176030	B1 19931230
				US 1994-197589	A1 19940217

OS MARPAT 118:254749  
 IT 147540-33-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as anti-AIDS drug)  
 RN 147540-33-8 CAPLUS  
 CN Piperazine, 1-[3-[(1-methylethyl)amino]-2-pyridinyl]-4-[[6-[2-(1-piperidinyl)ethoxy]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 10:55:18 ON 27 JUL 2007)

FILE 'REGISTRY' ENTERED AT 10:55:47 ON 27 JUL 2007

L1               STRUCTURE UPLOADED  
 L2               0 S L1  
 L3               STRUCTURE UPLOADED  
 L4               7 S L3  
 L5               STRUCTURE UPLOADED  
 L6               3 S L5  
 L7               1506 S L5 FUL  
 L8               STRUCTURE UPLOADED  
 L9               3 S L8

FILE 'REGISTRY' ENTERED AT 11:21:32 ON 27 JUL 2007

L10              STRUCTURE UPLOADED  
 L11              STRUCTURE UPLOADED  
 L12              3 S L11  
 L13              1081 S L11 FUL

FILE 'CAPLUS' ENTERED AT 11:40:41 ON 27 JUL 2007

L14              107 S L13

FILE 'REGISTRY' ENTERED AT 11:42:29 ON 27 JUL 2007

L15              STRUCTURE UPLOADED  
 L16              1 S L15  
 L17              344 S L15 FUL

FILE 'CAPLUS' ENTERED AT 11:43:14 ON 27 JUL 2007

L18              47 S L17  
 L19              0 S L18 AND PY,2003  
 L20              37 S L18 AND PY<2003  
 L21              74 S L14 AND PY<2003  
 L22              73 S L21 NOT L18

FILE 'CAPLUS' ENTERED AT 12:08:43 ON 27 JUL 2007

L23              STRUCTURE UPLOADED  
 L24              STRUCTURE UPLOADED  
                   S L24

FILE 'REGISTRY' ENTERED AT 12:10:43 ON 27 JUL 2007

L25              1 S L24

FILE 'CAPLUS' ENTERED AT 12:10:43 ON 27 JUL 2007

L26              1 S L25

FILE 'REGISTRY' ENTERED AT 12:10:58 ON 27 JUL 2007

L27              131 S L24 FUL



FILE 'CAPLUS' ENTERED AT 12:11:25 ON 27 JUL 2007

L28 28 S L27  
L29 12 S L28 AND PY< 2004

=> file registry

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
84.79	1282.99

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-9.36	-56.94

CA SUBSCRIBER PRICE

FILE 'REGISTRY' ENTERED AT 12:18:20 ON 27 JUL 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 26 JUL 2007 HIGHEST RN 943513-14-2

DICTIONARY FILE UPDATES: 26 JUL 2007 HIGHEST RN 943513-14-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=> s 123

SAMPLE SEARCH INITIATED 12:18:24 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 21445 TO ITERATE

9.3% PROCESSED 2000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 420134 TO 437666

PROJECTED ANSWERS: 18 TO 410

L30 1 SEA SSS SAM L23

=> s 123 ful

FULL SEARCH INITIATED 12:18:30 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 426649 TO ITERATE

100.0% PROCESSED 426649 ITERATIONS  
SEARCH TIME: 00.00.02

32 ANSWERS

L31 32 SEA SSS FUL L23

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	1455.09
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-56.94

FILE 'CAPLUS' ENTERED AT 12:18:43 ON 27 JUL 2007  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 27 Jul 2007 VOL 147 ISS 6  
FILE LAST UPDATED: 26 Jul 2007 (20070726/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s l31

L32 9 L31

=> d abs bib hitstr 1-9

L32 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

AB The synthesis and biol. evaluation of novel tetrahydroisoquinoline, tetrahydroquinoline, and tetrahydroazepine antagonists of the human and rat H3 receptors are described. The substitution around these rings as well as the nature of the substituent on nitrogen is explored. Several compds. with high affinity and selectivity for the human and rat H3 receptors are reported.

AN 2006:499075 CAPLUS Full-text <<LOGINID::20070727>>

DN 145:167059

TI Synthesis and SAR of novel histamine H3 receptor antagonists

AU Jesudason, Cynthia D.; Beavers, Lisa S.; Cramer, Jeffrey W.; Dill, Joelle; Finley, Don R.; Lindsley, Craig W.; Stevens, F. Craig; Gadski, Robert A.; Oldham, Samuel W.; Pickard, R. Todd; Siedem, Christopher S.; Sindelar, Dana K.; Singh, Ajay; Watson, Brian M.; Hipskind, Philip A.

CS Lilly Research Laboratories, Lilly Corporate Center, Eli Lilly & Company, Indianapolis, IN, 46285, USA

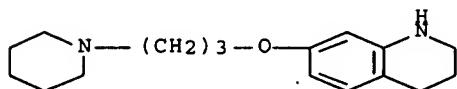
SO Bioorganic & Medicinal Chemistry Letters (2006), 16(13), 3415-3418  
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier B.V.

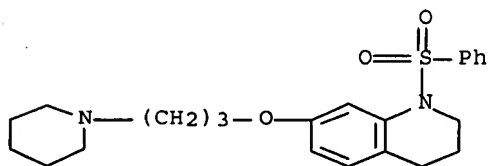
DT Journal

LA English

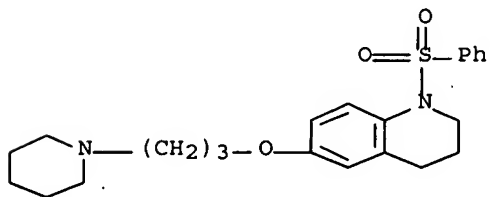
OS CASREACT 145:167059  
 IT 676254-93-6P 676254-97-0P 676255-04-2P  
 723242-04-4P 756817-53-5P 769920-49-2P  
 900809-93-0P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL  
 (Biological study); PREP (Preparation)  
 (preparation and SAR of novel tetrahydroisoquinoline, tetrahydroquinoline,  
 and tetrahydroazepine histamine H3 receptor antagonists)  
 RN 676254-93-6 CAPLUS  
 CN Quinoline, 1,2,3,4-tetrahydro-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA  
 INDEX NAME)



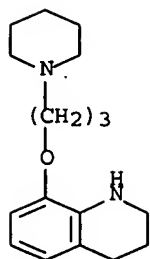
RN 676254-97-0 CAPLUS  
 CN Quinoline, 1,2,3,4-tetrahydro-1-(phenylsulfonyl)-7-[3-(1-  
 piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 676255-04-2 CAPLUS  
 CN Quinoline, 1,2,3,4-tetrahydro-1-(phenylsulfonyl)-6-[3-(1-  
 piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

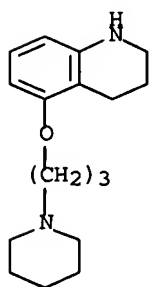


RN 723242-04-4 CAPLUS  
 CN Quinoline, 1,2,3,4-tetrahydro-8-[3-(1-piperidinyl)propoxy]- (9CI) (CA  
 INDEX NAME)



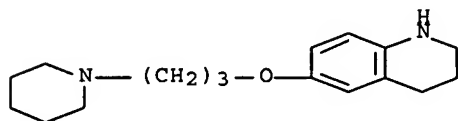
RN 756817-53-5 CAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-5-[3-(1-piperidinyl)propoxy] - (9CI) (CA INDEX NAME)



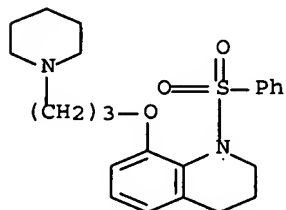
RN 769920-49-2 CAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-6-[3-(1-piperidinyl)propoxy] - (9CI) (CA INDEX NAME)

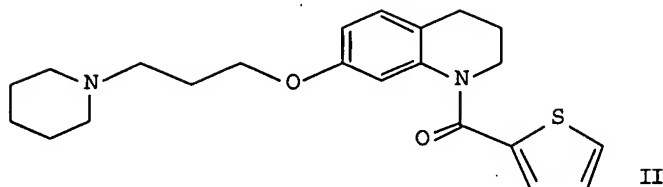
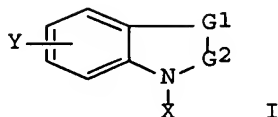


RN 900809-93-0 CAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-1-(phenylsulfonyl)-8-[3-(1-piperidinyl)propoxy] - (9CI) (CA INDEX NAME)



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



AB Title compds. I [G1 = CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>; G2 = CH<sub>2</sub>, CO or G1-2 taken together combine to form CH:CH, CH<sub>2</sub>-CH:CH; Y = OCH<sub>2</sub>CH<sub>2</sub>N-piperidinyl, OCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>N-piperidinyl, etc.; X = H, acyl, alkyl, etc.] are prepared For instance, 7-[3-(piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline (preparation given) is reacted with 2-thiophene carbonyl chloride (CH<sub>2</sub>Cl<sub>2</sub>, NEt<sub>3</sub>) to give II. II had K<sub>i</sub> = 12.6 nM for the histamine H<sub>3</sub> receptor. I are useful for the treatment of obesity.

AN 2004:267305 CAPLUS Full-text <<LOGINID::20070727>>

DN 140:287281

TI Preparation of substituted quinoline derivatives as histamine H<sub>3</sub> receptor antagonists

IN Beavers, Lisa Selsam; Finley, Don Richard; Gadski, Robert Alan; Hipskind, Philip Arthur; Jesudason, Cynthia Darshini; Pickard, Richard Todd; Stevens, Freddie Craig

PA Eli Lilly and Company, USA; Seidam, Christopher Stephen

SO PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004026837	A2	20040401	WO 2003-US25860	20030912
	WO 2004026837	A3	20050818		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2003268117	A1	20040408	AU 2003-268117	20030912

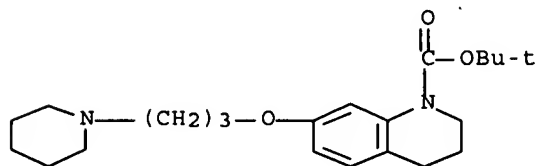
EP 1585731 A2 20051019 EP 2003-749068 20030912  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 JP 2006503056 T 20060126 JP 2004-537671 20030912  
 US 2006167046 A1 20060727 US 2005-525315 20050223  
 PRAI US 2002-411625P P 20020918  
 WO 2003-US25860 W 20030912

OS MARPAT 140:287281

IT 676254-91-4P, 7-[3-(Piperidin-1-yl)propoxy]-3,4-dihydro-2H-quinoline-1-carboxylic acid tert-butyl ester 676254-99-2P, 6-[3-(Piperidin-1-yl)propoxy]-3,4-dihydro-2H-quinoline-1-carboxylic acid tert-butyl ester 676255-00-8P, 6-[3-(Piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline dihydrochloride 676255-07-5P, 5-[3-(Piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline hydrochloride  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of substituted quinoline derivs. as histamine H3 receptor antagonists)

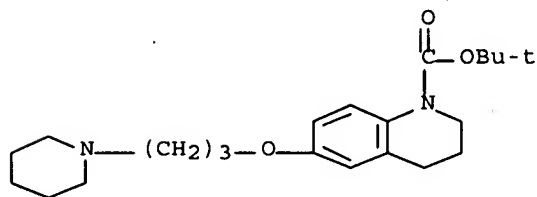
RN 676254-91-4 CAPLUS

CN 1(2H)-Quinolinecarboxylic acid, 3,4-dihydro-7-[3-(1-piperidinyl)propoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



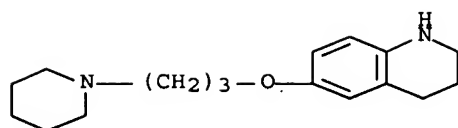
RN 676254-99-2 CAPLUS

CN 1(2H)-Quinolinecarboxylic acid, 3,4-dihydro-6-[3-(1-piperidinyl)propoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 676255-00-8 CAPLUS

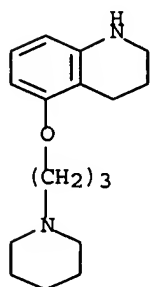
CN Quinoline, 1,2,3,4-tetrahydro-6-[3-(1-piperidinyl)propoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 676255-07-5 CAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-5-[3-(1-piperidinyl)propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

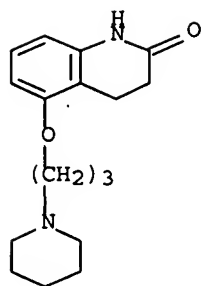


● HCl

IT 58014-79-2P, 5-[3-(Piperidin-1-yl)propoxy]-3,4-dihydro-1H-quinolin-2-one 676254-92-5P, 7-[3-(Piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline hydrochloride 676254-93-6P, 7-[3-(Piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline 676254-97-0P, 1-Benzenesulfonyl-7-[3-(piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline 676255-03-1P, 1-Benzenesulfonyl-6-[3-(piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline hydrochloride 676255-04-2P, 1-Benzenesulfonyl-6-[3-(piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of substituted quinoline derivs. as histamine H3 receptor antagonists)

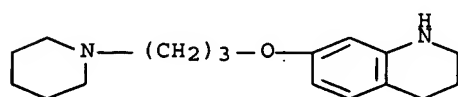
RN 58014-79-2 CAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-5-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 676254-92-5 CAPLUS

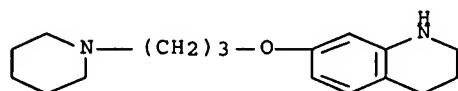
CN Quinoline, 1,2,3,4-tetrahydro-7-[3-(1-piperidinyl)propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

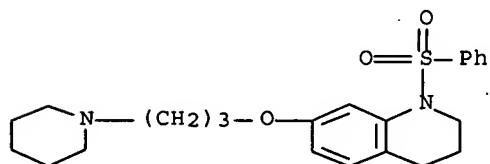
RN 676254-93-6 CAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 676254-97-0 CAPLUS

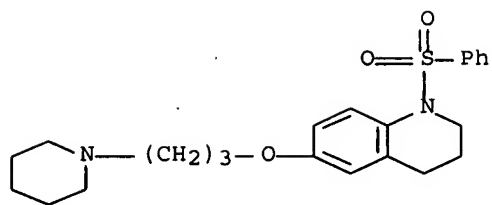
CN Quinoline, 1,2,3,4-tetrahydro-1-(phenylsulfonyl)-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 676255-03-1 CAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-1-(phenylsulfonyl)-6-[3-(1-piperidinyl)propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

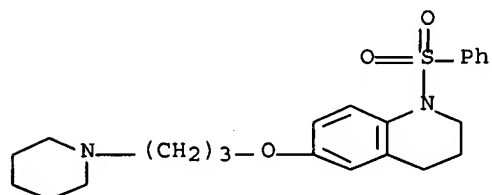




● HCl

RN 676255-04-2 CAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-1-(phenylsulfonyl)-6-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



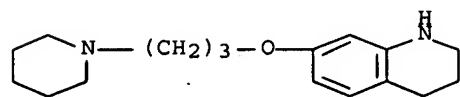
IT 676254-95-8, 7-[3-(Piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline dihydrochloride

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted quinoline derivs. as histamine H3 receptor antagonists)

RN 676254-95-8 CAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-7-[3-(1-piperidinyl)propoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

IT 676255-05-3P, 5-[3-(Piperidin-1-yl)propoxy]-3,4-dihydro-2H-quinoline-1-carboxylic acid tert-butyl ester hydrochloride

676255-06-4P, 5-[3-(Piperidin-1-yl)propoxy]-3,4-dihydro-2H-quinoline-1-carboxylic acid tert-butyl ester 676255-11-1P,

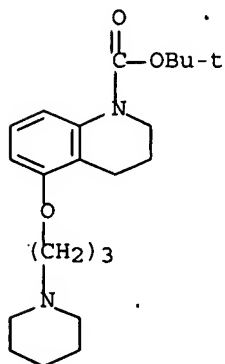
8-[3-(Piperidin-1-yl)propoxy]-3,4-dihydro-2H-quinoline-1-carboxylic acid tert-butyl ester 676255-12-2P, 8-[3-(Piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline dihydrochloride

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted quinoline derivs. as histamine H3 receptor antagonists)

RN 676255-05-3 CAPLUS

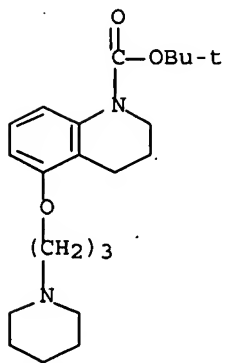
CN 1(2H)-Quinolinecarboxylic acid, 3,4-dihydro-5-[3-(1-piperidinyl)propoxy]-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

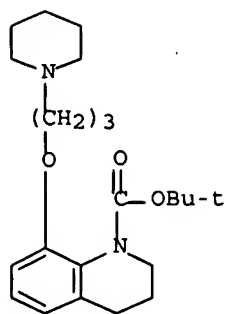
RN 676255-06-4 CAPLUS

CN 1(2H)-Quinolinecarboxylic acid, 3,4-dihydro-5-[3-(1-piperidinyl)propoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



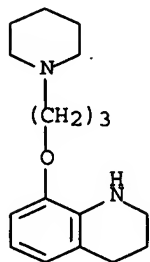
RN 676255-11-1 CAPLUS

CN 1(2H)-Quinolinecarboxylic acid, 3,4-dihydro-8-[3-(1-piperidinyl)propoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



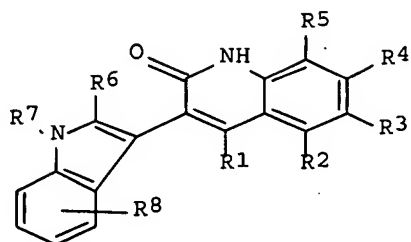
RN 676255-12-2 CAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-8-[3-(1-piperidinyl)propoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

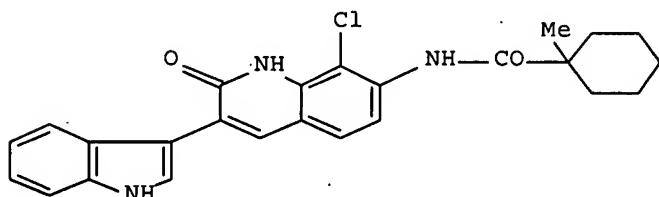


● 2 HCl

L32 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN  
GI



I



II

AB Title compds. [I; R1, R2 and R3, as for R4 and R5 equality or differing, the hydrogen atom, the halogen atom, the hydroxyl group and nitro group, the amino base, a low-grade alkyl group, and a low-grade alkoxy group et cetera; R6 is a hydrogen atom or a halogen atom; R7 the hydrogen atom or a low-grade alkyl group; R8 the hydrogen atom, the halogen atom and the low-grade alkyl group, a hydroxyl group, a carboxyl group and an amino base;etc.] and salts are prepared and is useful in medicine, by inhibiting the phosphorylation of the PDGF receptors. Title compds. have inhibition effect on smooth muscle multiplication and are useful as re-strangulation remedy agents and the nephritis remedy agents. Thus, the title compound II was prepared and tested.

AN 2001:235566 CAPLUS Full-text <<LOGINID::20070727>>

DN 134:266203

TI Preparation and application of benzopyranone derivatives

IN Kato, Susumu; Fujisawa, Akitaka; Nanayama, Toyomichi

PA Japan Tobacco, Inc., Japan

SO Jpn. Kokai Tokkyo Koho, 65 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001089471	A	20010403	JP 2000-214857	20000714
PRAI	JP 1999-206924	A	19990721		

OS MARPAT 134:266203

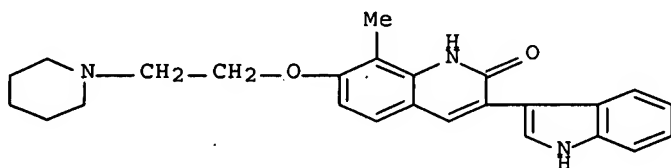
IT 332092-56-5P 332092-57-6P 332093-87-5P

332093-88-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and application of benzopyranone derivs.)

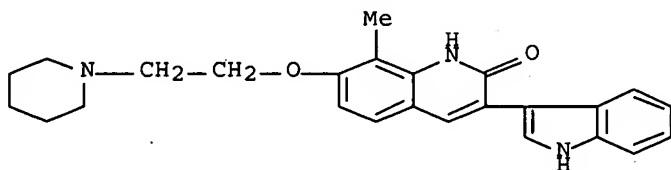
RN 332092-56-5 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-indol-3-yl)-8-methyl-7-[2-(1-piperidinyl)ethoxy]-(9CI) (CA INDEX NAME)



RN 332092-57-6 CAPLUS

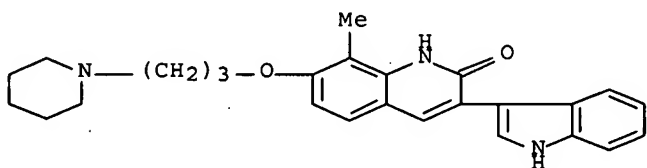
CN 2(1H)-Quinolinone, 3-(1H-indol-3-yl)-8-methyl-7-[2-(1-piperidinyloxy] -  
 , monohydrochloride (9CI) (CA INDEX NAME)



● HCl

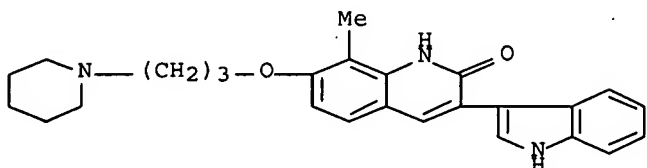
RN 332093-87-5 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-indol-3-yl)-8-methyl-7-[3-(1-piperidinyloxy] -  
 (9CI) (CA INDEX NAME)



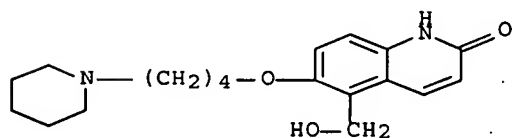
RN 332093-88-6 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-indol-3-yl)-8-methyl-7-[3-(1-piperidinyloxy] -  
 , monohydrochloride (9CI) (CA INDEX NAME)

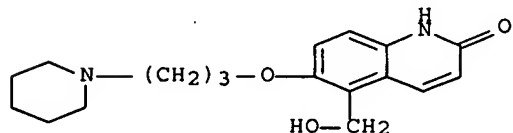


● HCl

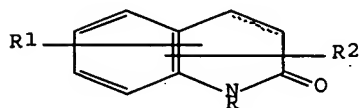
L32 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN  
 AB Fourteen 6-substituted 2(1H)-quinolinone derivs. were synthesized, among which 13 that had not been reported before. Antiplatelet aggregation activity test in vitro showed that 5 of these compds. had moderate activities. The structure and activity relationships for 5,6-substituents were studied.  
 AN 1998:660745 CAPLUS Full-text <<LOGINID::20070727>>  
 DN 130:66318  
 TI Synthesis and platelet aggregation inhibitory activity of 2(1H)-quinolinone derivatives  
 AU Huang, Dongping; Liu, Shaocheng; Wang, Rui; Chen, Lili  
 CS Department of Medicinal Chemistry, Shenyang Pharmaceutical University, Shenyang, 110015, Peop. Rep. China  
 SO Zhongguo Yaowu Huaxue Zazhi (1997), 7(4), 235-239  
 CODEN: ZYHZEJ; ISSN: 1005-0108  
 PB Zhongguo Yaowu Huaxue Zazhi Bianjibu  
 DT Journal  
 LA Chinese  
 IT 218137-59-8P 218137-66-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (synthesis and platelet aggregation inhibitory activity of 2(1H)-quinolinone derivs.)  
 RN 218137-59-8 CAPLUS  
 CN 2(1H)-Quinolinone, 5-(hydroxymethyl)-6-[4-(1-piperidinyl)butoxy]- (9CI)  
 (CA INDEX NAME)



RN 218137-66-7 CAPLUS  
 CN 2(1H)-Quinolinone, 5-(hydroxymethyl)-6-[3-(1-piperidinyl)propoxy]- (9CI)  
 (CA INDEX NAME)



L32 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN  
 GI



I

AB Title compds. I [R = H, alkyl, phenylalkyl, Ph, (piperidinyl- or phenylalkyl-substituted) piperidinylalkyl; R1 = H, alkyl, alkenyl, halo alkoxy, (substituted) amino, etc.; R2 = H, OANR3R4; A = (OH- or Ph-substituted) alkylene, carbonylalkyl; R3, R4 = H, alkyl, Ph, (alkyl-substituted) phenoxyalkyl, hydroxyalkyl, (alkoxy-substituted) phenylalkyl; NR3R4 = (substituted) heterocyclyl which may contain O; dotted line may be a bond; when R2 = H, R1 = H and R = (piperidinyl- or phenylalkyl-substituted) piperidinylalkyl] are prepared A suspension of 8-chloropropoxycarbostyryl (sic), 4-benzyloxypiperidine, (Me2CH)2NEt, and NaI in DMF was heated at 100° to give 8-[3-(4-benzyloxy-1- piperidinyl)propoxy]-3,4-dihydrocarbostyryl isolated as its HCl salt. 8-[3-(4-Benzyl-1-piperidinyl)propoxy]-3,4-dihydrocarbostyryl (II).HCl at 10 µmol showed 68.5 the tenth contraction and 28.6 the first delay contraction of cat's papillary muscle, vs. 0 for both contraction at 0 µM. A tablet was formulated containing II 5, starch 132, Mg stearate 18, and lactose 45 mg.

AN 1989:423403 CAPLUS Full-text <<LOGINID::20070727>>

DN 111:23403

TI Preparation of 2-oxoquinoline derivatives as antiarrhythmic agents

IN Tafusa, Fujio; Ei, Kazuyoshi; Tsutsui, Yoshinori

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 40 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

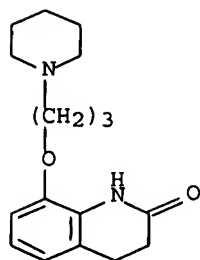
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 63290821	A	19881128	JP 1987-129257	19870525
	JP 07252153	A	19951003	JP 1995-9415	19950125
PRAI	JP 1987-129257		19870525		
OS	MARPAT 111:23403				
IT	121200-98-4P				

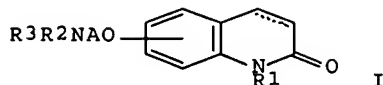
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as antiarrhythmic)

RN 121200-98-4 CAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-8-[3-(1-piperidinyl)propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl



AB Aminoalkoxydihydrocarbostyrils ( I, R1 = H, alkyl, or phenylalkyl; R2 and R3 = H, alkyl, cycloalkyl, etc.; A = alkylene) are cardiotonic agents. Thus, 6-(2-benzylaminoethoxy)-3,4-dihydrocarbostyril-HCl [82699-27-2] was prepared by treating 2-benzylaminoethyl chloride [42074-16-8] with 5-hydroxy-3,4-dihydrocarbostyril [30389-33-4]. Similarly, about 50 I were synthesized. The cardiotonic effects of 30 I were demonstrated in vitro using the heart isolated from dogs. Tablets were prepared containing 6-[3-(4-nitrobenzyl)aminopropoxy]-3,4-dihydrocarbostyril [82699-30-7] 5, starch 132, Mg stearate 18, and lactose 45 mg/tablet.

AN 1982:498357 CAPLUS Full-text <<LOGINID::20070727>>

DN 97:98357

TI Cardiotonic formulations containing aminoalkoxydihydrocarbostyrils.

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 34 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 57080322	A	19820519	JP 1980-156126	19801105
	JP 63038005	B	19880728		
PRAI	JP 1980-156126		19801105		

OS CASREACT 97:98357

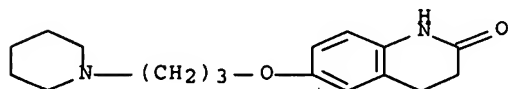
IT 82699-68-1P

RL: THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);  
USES (Uses)

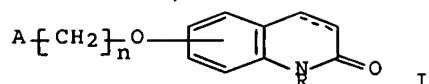
(preparation of, as cardiotonic agent)

RN 82699-68-1 CAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-6-[3-(1-piperidinyl)propoxy]- (9CI) (CA  
INDEX NAME)







AB Compns. contg. carbostyryl derivs. I (R = H, lower alkyl, lower alkenyl, or aralkyl, n = 1-6; A = phthalimide or -NR<sub>1</sub>R<sub>2</sub> (R<sub>1</sub>, R<sub>2</sub> = H, lower alkyl, cycloalkyl; R<sub>1</sub> and R<sub>2</sub> might form a linkage through O or N) are local anesthetics. Thus, an ointment comprises 1-allyl-5-dimethylaminoethoxy- 3,4-dihydrocarbostyryl [58014-63-4] 2, purified lanolin 5, bleached beeswax 5, and white petrolatum 88 g.

AN 1978:517855 CAPLUS Full-text <<LOGINID::20070727>>

DN 89:117855

TI Local anesthetics

IN Nakagawa, Kazuyuki

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

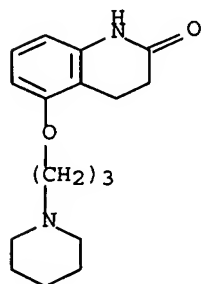
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 53003534	A	19780113	JP 1976-78421	19760630
	JP 55039525	B	19801013		
PRAI	JP 1976-78421	A	19760630		
IT	67161-93-7				

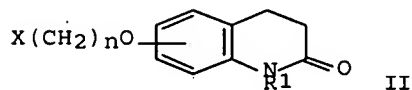
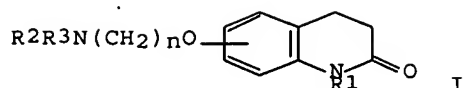
RL: BIOL (Biological study)  
(local anesthetic compns. containing)

RN 67161-93-7 CAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-5-[3-(1-piperidinyl)propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl



AB 3,4-Dihydrocarbostyryl I (R1 = H, lower alkyl, alkenyl, aralkyl; R2, R3 = H, lower alkyl, cycloalkyl; R2R3N may form a ring; n = 2-3) were prepared by reaction of haloalkoxy-3,4-dihydrocarbostyryls II (X = halo) with amines R2R3NH. I were useful as antiinflammatory and antithrombosis agents (no data). Thus, refluxing 4.5 g II (R1 = H, X = Cl, n = 2) with 14.6 g tert-BuNH2 12 hr and treating with HCl gave 0.2 g I. HCl (R1 = R3 = H, R2 = tert-Bu, n = 2, substitution is at position 5). Among 43 addnl. I prepared were the following (substitution is at position 5) (R1, R2, R3, n given): H, iso-Pr, H, 2 (as HCl salt); H, tert-Bu, H, 3 (as HCl salt); H, iso-Pr, H, 3 (as HCl salt); and H, Me, Me, 2.

AN 1976:135499 CAPLUS Full-text <<LOGINID::20070727>>

DN 84:135499

TI Aminoalkoxy-3,4-dihydrocarbostyryls

IN Nakagawa, Kazuyuki; Uchida, Minoru

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

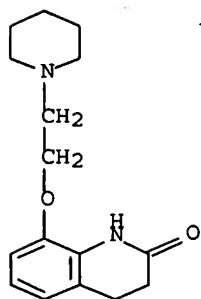
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 50142576	A	19751117	JP 1974-47346	19740425
PRAI	JP 1974-47346	A	19740425		
IT	58014-60-1P 58014-77-0P 58014-79-2P 58033-07-1P				

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and pharmacol. activity of)

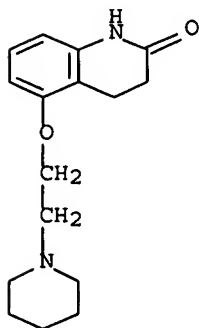
RN 58014-60-1 CAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-8-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



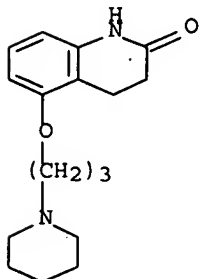
RN 58014-77-0 CAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-5-[2-(1-piperidinyl)ethoxy]- (9CI) (CA  
INDEX NAME)



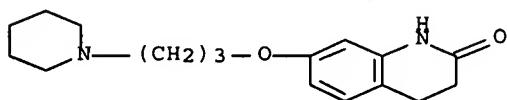
RN 58014-79-2 CAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-5-[3-(1-piperidinyl)propoxy]- (9CI) (CA  
INDEX NAME)



RN 58033-07-1 CAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA  
INDEX NAME)



L32 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

GI For diagram(s), see printed CA Issue.

AB Aminoalkoxy-3,4-dihydrocarbostyril derivs. (I; R1 = H, alkyl, alkenyl; R2,R3 = lower alkyl, cycloalkyl; R2NR3 may form a heterocyclic ring; n = 2-3) were prepared by reaction of 3,4-dihydrocarbostyril derivs. (II) with haloamine derivs. X(CH2)nNR2R3 (X = halo). I had antiinflammatory and blood platelet coagulation inhibiting activities (no data). Thus, a mixture of 0.46 g Na and 3.2 g 5-hydroxy-3,4-dihydrocarbostyril in MeOH was refluxed 30 min, Cl(CH2)2NMe2 in C6H6 added, and the whole refluxed 4 hr to give 1.7 g 5-(2-

dimethylaminoethoxy)-3,4-dihydrocarbostyril. Among 23 addnl. I prepared were 1-methyl-5-(2-dimethylaminoethoxy)-3,4- dihydrocarbostyril oxalate, 1-benzyl-5-(2-dimethylaminoethoxy)-3,4- dihydrocarbostyril, 6-(2-morpholinoethoxy)-3,4- dihydrocarbostyril, and 7-(3-piperidinopropoxy)-3,4-dihydrocarbostyril.

AN 1976:74110 CAPLUS Full-text <<LOGINID::20070727>>

DN 84:74110

TI Aminoalkoxy-3,4-dihydrocarbostyril derivatives

IN Nakagawa, Kazuyuki; Uchida, Minoru

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

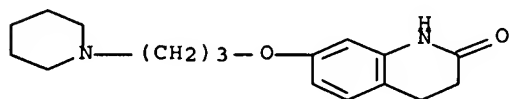
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	JP 50106977	A	19750822	JP 1974-15114	19740205
PRAI	JP 1974-15114	A	19740205		
IT	58033-07-1P				

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 58033-07-1 CAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA  
INDEX NAME)

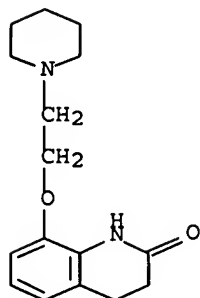


IT 58014-60-1P 58014-77-0P 58014-79-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation, antiinflammatory and coagulation inhibition by)

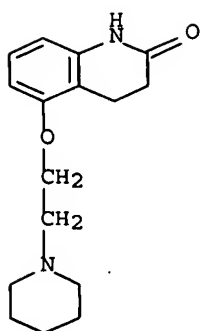
RN 58014-60-1 CAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-8-[2-(1-piperidinyl)ethoxy]- (9CI) (CA  
INDEX NAME)



RN 58014-77-0 CAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-5-[2-(1-piperidinyl)ethoxy]- (9CI) (CA  
INDEX NAME)



RN 58014-79-2 CAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-5-[3-(1-piperidinyl)propoxy]- (9CI) (CA  
INDEX NAME)

